09/ 995,137

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TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS 1		Web Page URLs for STN Seminar Schedule - N. America
NEWS 2	Jan 25	BLAST(R) searching in REGISTRY available in STN on the Web
NEWS 3	Jan 29	FSTA has been reloaded and moves to weekly updates
NEWS 4	Feb 01	DKILIT now produced by FIZ Karlsruhe and has a new update frequency
NEWS 5	Feb 19	Access via Tymnet and SprintNet Eliminated Effective 3/31/02
NEWS 6	Mar 08	Gene Names now available in BIOSIS
NEWS 7	Mar 22	TOXLIT no longer available
NEWS 8	Mar 22	TRCTHERMO no longer available
NEWS 9	Mar 28	US Provisional Priorities searched with P in CA/CAplus and USPATFULL
NEWS 10	Mar 28	LIPINSKI/CALC added for property searching in REGISTRY
NEWS 11	Apr 02	PAPERCHEM no longer available on STN. Use PAPERCHEM2 instead.
NEWS 12	Apr 08	"Ask CAS" for self-help around the clock
NEWS 13	Apr 09	BEILSTEIN: Reload and Implementation of a New Subject Area
NEWS 14	Apr 09	ZDB will be removed from STN
NEWS 15	Apr 19	US Patent Applications available in IFICDB, IFIPAT, and IFIUDB
NEWS 16	Apr 22	Records from IP.com available in CAPLUS, HCAPLUS, and ZCAPLUS
NEWS 17	Apr 22	BIOSIS Gene Names now available in TOXCENTER
NEWS 18	Apr 22	Federal Research in Progress (FEDRIP) now available

NEWS EXPRESS	February 1 CURRENT WINDOWS VERSION IS V6.0d, CURRENT MACINTOSH VERSION IS V6.0a(ENG) AND V6.0Ja(JP), AND CURRENT DISCOVER FILE IS DATED 05 FEBRUARY 2002
NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS INTER	General Internet Information
NEWS LOGIN	Welcome Banner and News Items
NEWS PHONE	Direct Dial and Telecommunication Network Access to STN
NEWS WWW	CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that specific topic.

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* * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 15:34:16 ON 09 MAY 2002

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

09/ 995,137

FILE 'REGISTRY' ENTERED AT 15:34:26 ON 09 MAY 2002
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STRUCTURE FILE UPDATES: 7 MAY 2002 HIGHEST RN 412267-09-5
DICTIONARY FILE UPDATES: 7 MAY 2002 HIGHEST RN 412267-09-5

TSCA INFORMATION NOW CURRENT THROUGH July 7, 2001

Please note that search-term pricing does apply when conducting SmartSELECT searches.

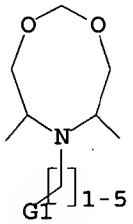
Crossover limits have been increased. See HELP CROSSOVER for details.

Calculated physical property data is now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=>
Uploading 09995137a.str

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



G1 O,S,N,SO2

Structure attributes must be viewed using STN Express query preparation.

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Uploading 09995137b.str

L2 STRUCTURE UPLOADED

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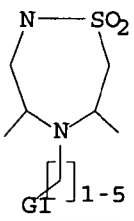
L2 HAS NO ANSWERS
L2 STR



G1 O,S,N,SO2

09/ 995,137

Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SEARCH INITIATED 15:35:21 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -     35 TO ITERATE

100.0% PROCESSED      35 ITERATIONS                                 5 ANSWERS
SEARCH TIME: 00.00.02

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:          346 TO     1054
PROJECTED ANSWERS:               5 TO      234

L3             5 SEA SSS SAM L1

=> s l1 ful
FULL SEARCH INITIATED 15:35:29 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -    626 TO ITERATE

100.0% PROCESSED     626 ITERATIONS                                83 ANSWERS
SEARCH TIME: 00.00.02

L4            83 SEA SSS FUL L1

=> s l2
SAMPLE SEARCH INITIATED 15:35:37 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -     17 TO ITERATE

100.0% PROCESSED      17 ITERATIONS                                 3 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:           93 TO      587
PROJECTED ANSWERS:               3 TO      163

L5             3 SEA SSS SAM L2

=> s l2 ful
FULL SEARCH INITIATED 15:35:50 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -    347 TO ITERATE

100.0% PROCESSED     347 ITERATIONS                                51 ANSWERS
SEARCH TIME: 00.00.02

L6            51 SEA SSS FUL L2

=> file caplus
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                 ENTRY    SESSION
FULL ESTIMATED COST                             280.94     281.15

FILE 'CAPLUS' ENTERED AT 15:35:57 ON 09 MAY 2002
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PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2002 AMERICAN CHEMICAL SOCIETY (ACS)
```

FILE COVERS 1907 - 9 May 2002 VOL 136 ISS 19
FILE LAST UPDATED: 7 May 2002 (20020507/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

CAS roles have been modified effective December 16, 2001. Please check your SDI profiles to see if they need to be revised. For information on CAS roles, enter HELP ROLES at an arrow prompt or use the CAS Roles thesaurus (/RL field) in this file.

=> d his

(FILE 'HOME' ENTERED AT 15:34:16 ON 09 MAY 2002)

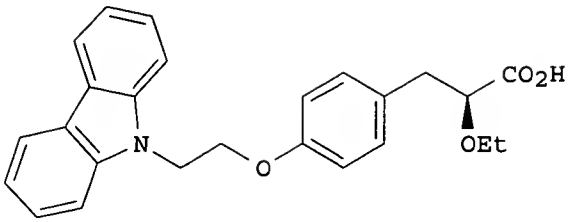
FILE 'REGISTRY' ENTERED AT 15:34:26 ON 09 MAY 2002
L1 STRUCTURE UPLOADED
L2 STRUCTURE UPLOADED
L3 5 S L1
L4 83 S L1 FUL
L5 3 S L2
L6 51 S L2 FUL

FILE 'CAPLUS' ENTERED AT 15:35:57 ON 09 MAY 2002

=> s l4 or l6
24 L4
10 L6
L7 33 L4 OR L6

=> d l7 1- ibib abs hitstr
YOU HAVE REQUESTED DATA FROM 33 ANSWERS - CONTINUE? Y/(N):y

L7 ANSWER 1 OF 33 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:59016 CAPLUS
DOCUMENT NUMBER: 136:257030
TITLE: Novel Tricyclic-.alpha.-alkyloxyphenylpropionic Acids: Dual PPAR.alpha./.gamma. Agonists with Hypolipidemic and Antidiabetic Activity
AUTHOR(S): Sauerberg, Per; Pettersson, Ingrid; Jeppesen, Lone; Bury, Paul S.; Mogensen, John P.; Wassermann, Karsten; Brand, Christian L.; Sturis, Jeppe; Woeldike, Helle F.; Fleckner, Jan; Andersen, Anne-Sofie T.; Mortensen, Steen B.; Svensson, L. Anders; Rasmussen, Hanne B.; Lehmann, Soren V.; Polivka, Zdenek; Sindelar, Karel; Panajotova, Vladimira; Ynddal, Lars; Wulff, Erik M.
CORPORATE SOURCE: Novo Nordisk Park, Novo Nordisk A/S, Malov, 2760, Den.
SOURCE: Journal of Medicinal Chemistry (2002), 45(4), 789-804
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



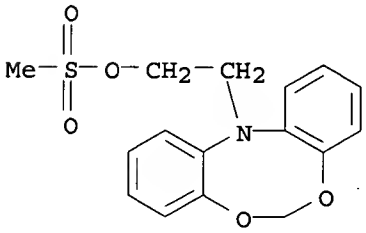
I

AB Tricyclic .alpha.-ethoxy phenylpropionic acid derivs. such as nonracemic carbazoleethoxypropionic acid I were prepd. and tested for their PPAR.alpha. and PPAR.gamma. agonist activities as potential antihyperlipidemic and antidiabetic agents. Mol. mechanics and X-ray crystallog. data of the complex of the PPAR.gamma. receptor with I were obtained. Db/db mice treated with I showed improved insulin sensitivity over treatment with either pioglitazone or rosiglitazone, suggesting in vivo PPAR.gamma. activity. Rats fed a high-cholesterol diet and treated with I also showed decreased plasma triglycerides and cholesterol after 4 days treatment, indicating in vivo PPAR.alpha. activity. Pharmacokinetics of selected compds. suggested that extended drug exposure improved the in vivo activity of in vitro active compds.

IT **405159-69-5**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. and PPAR.alpha. and PPAR.gamma. agonist activity of tricyclic .alpha.-ethoxyphenylpropionic acids prepd. as potential antihyperlipidemic and antidiabetic agents)

RN 405159-69-5 CAPLUS

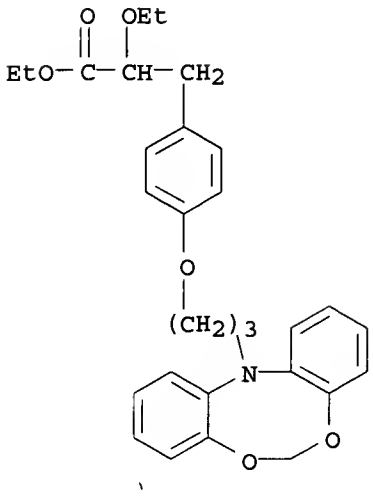
CN 12H-Dibenzo[d,g][1,3,6]dioxazocine-12-ethanol, methanesulfonate (ester) (9CI) (CA INDEX NAME)



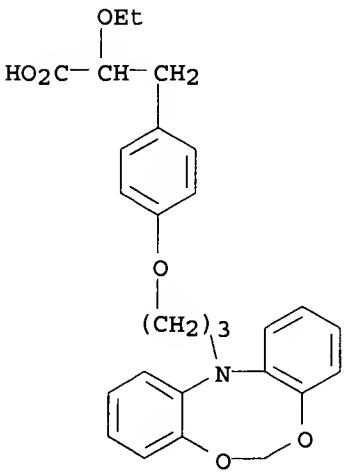
IT **265301-13-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and PPAR.alpha. and PPAR.gamma. agonist activity of tricyclic .alpha.-ethoxyphenylpropionic acids prepd. as potential antihyperlipidemic and antidiabetic agents)

RN 265301-13-1 CAPLUS

CN Benzenepropanoic acid, 4-[3-(12H-dibenzo[d,g][1,3,6]dioxazocin-12-yl)propoxy]-.alpha.-ethoxy-, ethyl ester (9CI) (CA INDEX NAME)



IT **265301-17-5P**
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn., PPAR.alpha. and PPAR.gamma. agonist activity, and pharmacokinetics of tricyclic .alpha.-ethoxyphenylpropionic acids prepd. as potential antihyperlipidemic and antidiabetic agents)
RN 265301-17-5 CAPLUS
CN Benzenepropanoic acid, 4-[3-(12H-dibenzo[d,g][1,3,6]dioxazocin-12-yl)propoxy]-.alpha.-ethoxy- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 63 THERE ARE 63 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 2 OF 33 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:277964 CAPLUS
DOCUMENT NUMBER: 132:308362
TITLE: Preparation of tricyclic compounds for the treatment and/or prevention of conditions mediated by nuclear receptors, in particular the Peroxisome Proliferator-Activated Receptors (PPAR)
INVENTOR(S): Jeppesen, Lone; Bury, Paul Stanley; Sauerberg, Per
PATENT ASSIGNEE(S): Novo Nordisk A/s, Den.; Reddy's Research Foundation
SOURCE: PCT Int. Appl., 73 pp.

Applicants

CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000023425	A1	20000427	WO 1999-DK570	19991019
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9961902	A1	20000508	AU 1999-61902	19991019
EP 1123279	A1	20010816	EP 1999-948738	19991019
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				

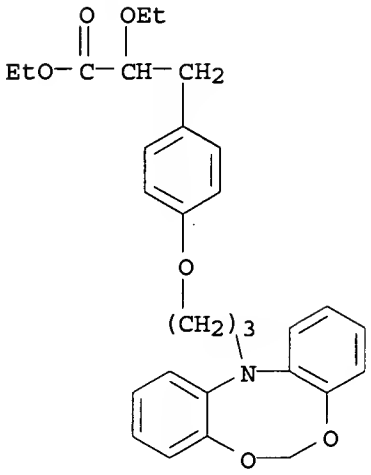
PRIORITY APPLN. INFO.: DK 1998-1352 A 19981021
WO 1999-DK570 W 19991019
OTHER SOURCE(S): MARPAT 132:308362
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

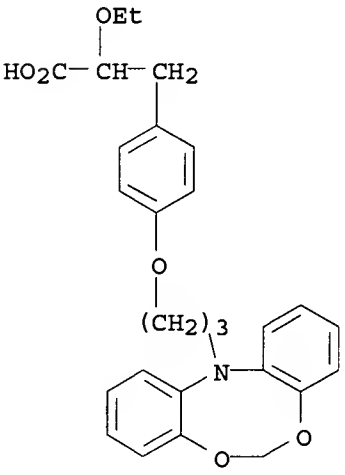
AB The title compds. [I; R1-R4 = H, halo, perhalomethyl, etc.; R1 and R2, R2 and R3, R3 and R4 may form (un)substituted cyclic ring contg. 5-7 carbon atoms; A = (un)substituted 5-6 membered cyclic ring; X = a bond, CH:CH, OCH2O, etc.; Ar = (un)substituted arylene, heteroarylene, divalent heterocyclic group; R5 = H, OH, halo, etc.; R6 = H, OH, halo, etc.; R7 = H, alkyl, alkenyl, etc.; R8 = H, alkyl, alkenyl, etc.; Y = O, S, NH, etc.; n = 1-4; m = 0-1], useful in the treatment and/or prevention of conditions mediated by nuclear receptors, in particular the Peroxisome Proliferator-Activated Receptors (PPAR) (e.g., in the treatment of diabetes and/or obesity), were prepd. and formulated. Thus, reacting 2-(10,11-dihydrodibenzo[b,f]azepin-5-yl)ethanol with Et 2-ethoxy-3-(4-hydroxyphenyl)propionate in the presence of triphenylphosphine and di-Et azodicarboxylate afforded 90% II. Compds. I are effective at 0.1-70 mg/day in the treatment of adult humans.

IT **265301-13-1P 265301-17-5P 265302-30-5P**
265302-31-6P 265302-33-8P 265302-35-0P
265302-37-2P 265302-39-4P 265302-41-8P
265302-43-0P 265303-74-0P 265303-76-2P
265303-78-4P 265303-87-5P 265303-89-7P
265303-91-1P 265303-93-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of tricyclic compds. for the treatment and/or prevention of conditions mediated by nuclear receptors, in particular the Peroxisome Proliferator-Activated Receptors (PPAR))

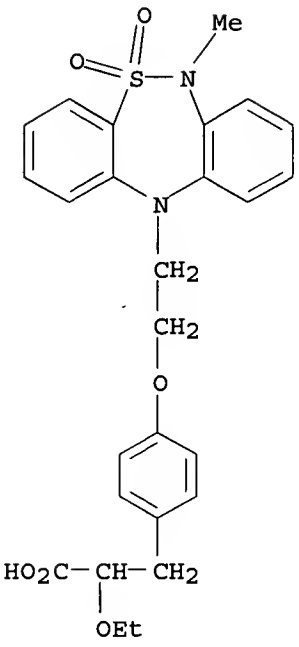
RN 265301-13-1 CAPLUS
CN Benzenepropanoic acid, 4-[3-(12H-dibenzo[d,g][1,3,6]dioxazocin-12-yl)propoxy]-.alpha.-ethoxy-, ethyl ester (9CI) (CA INDEX NAME)



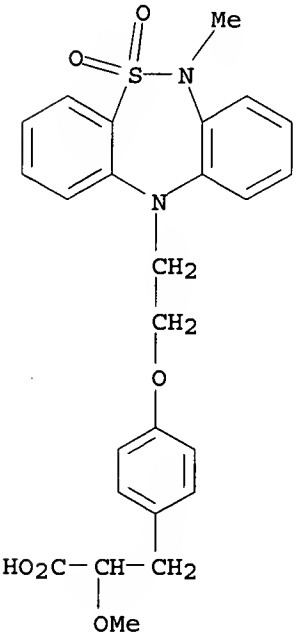
RN 265301-17-5 CAPLUS
CN Benzenepropanoic acid, 4-[3-(12H-dibenzo[d,g][1,3,6]dioxazocin-12-yl)propoxy]-.alpha.-ethoxy- (9CI) (CA INDEX NAME)



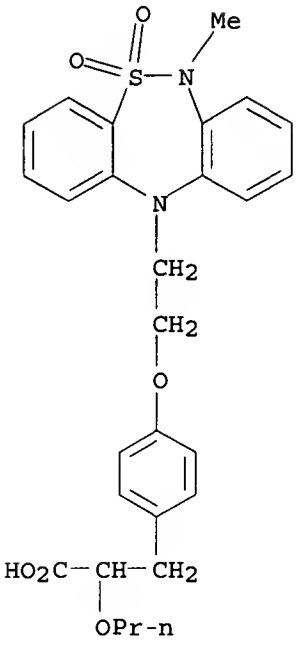
RN 265302-30-5 CAPLUS
CN Benzenepropanoic acid, .alpha.-ethoxy-4-[2-(6-methyl-5,5-dioxidodibenzo[c,f][1,2,5]thiadiazepin-11(6H)-yl)ethoxy]- (9CI) (CA INDEX NAME)



RN 265302-31-6 CAPLUS
CN Benzenepropanoic acid, .alpha.-methoxy-4-[2-(6-methyl-5,5-dioxidodibenzo[c,f][1,2,5]thiadiazepin-11(6H)-yl)ethoxy]- (9CI) (CA INDEX NAME)



RN 265302-33-8 CAPLUS
CN Benzenepropanoic acid, 4-[2-(6-methyl-5,5-dioxidodibenzo[c,f][1,2,5]thiadiazepin-11(6H)-yl)ethoxy]-.alpha.-propoxy- (9CI) (CA INDEX NAME)



RN 265302-35-0 CAPLUS
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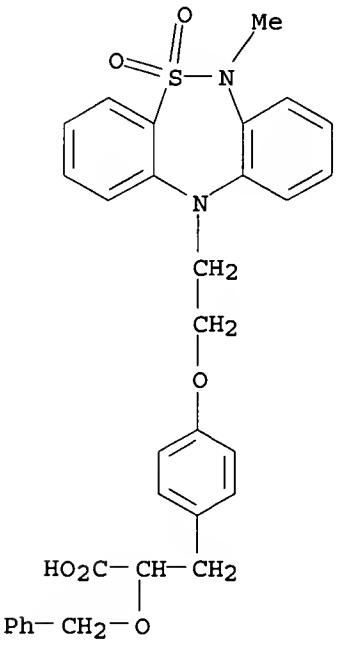
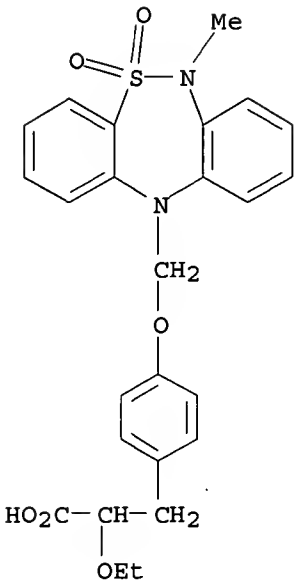
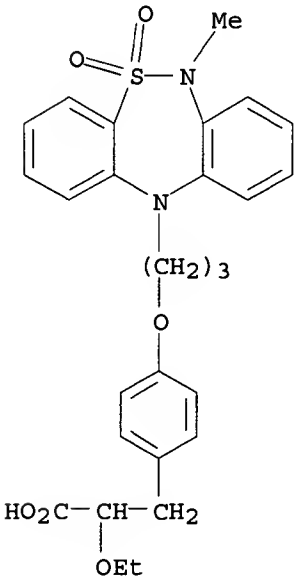


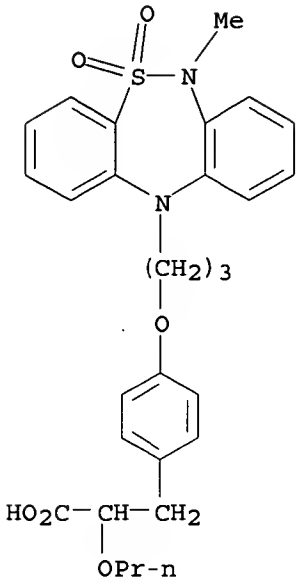
RN 265302-37-2 CAPLUS
CN Benzenepropanoic acid, .alpha.-ethoxy-4-[(6-methyl-5,5-dioxidodibenzo[c,f][1,2,5]thiadiazepin-11(6H)-yl)methoxy]- (9CI) (CA INDEX NAME)



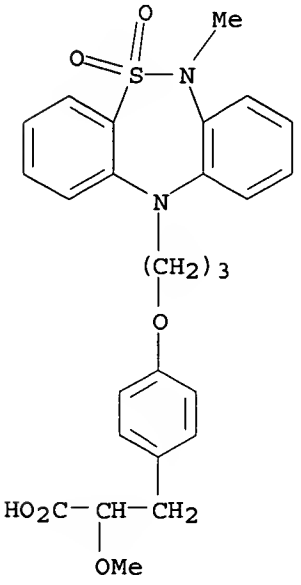
RN 265302-39-4 CAPLUS
CN Benzenepropanoic acid, .alpha.-ethoxy-4-[3-(6-methyl-5,5-dioxidodibenzo[c,f][1,2,5]thiadiazepin-11(6H)-yl)propoxy]- (9CI) (CA INDEX NAME)



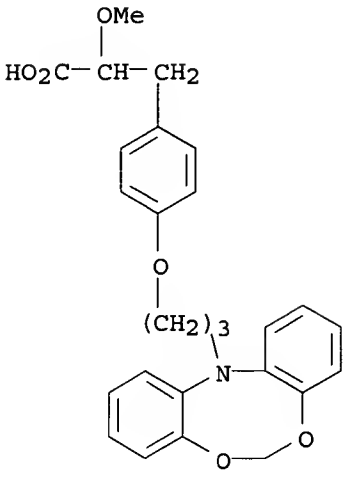
RN 265302-41-8 CAPLUS
CN Benzenepropanoic acid, 4-[3-(6-methyl-5,5-dioxidodibenzo[c,f][1,2,5]thiadiazepin-11(6H)-yl)propoxy]-.alpha.-propoxy- (9CI) (CA INDEX NAME)



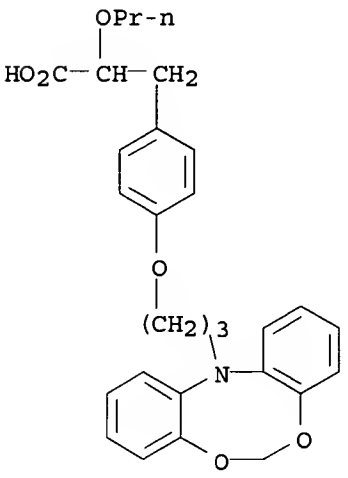
RN 265302-43-0 CAPLUS
CN Benzenepropanoic acid, .alpha.-methoxy-4-[3-(6-methyl-5,5-dioxidodibenzo[c,f][1,2,5]thiadiazepin-11(6H)-yl)propoxy]- (9CI) (CA INDEX NAME)



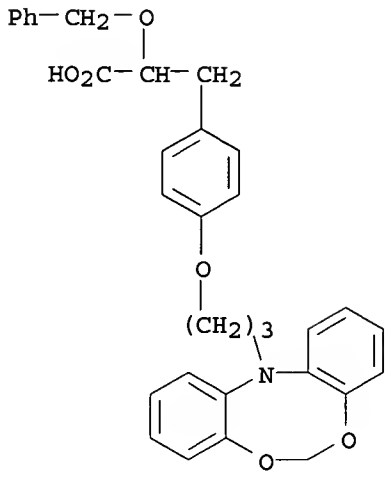
RN 265303-74-0 CAPLUS
CN Benzenepropanoic acid, 4-[3-(12H-dibenzo[d,g][1,3,6]dioxazocin-12-yl)propoxy]-.alpha.-methoxy- (9CI) (CA INDEX NAME)



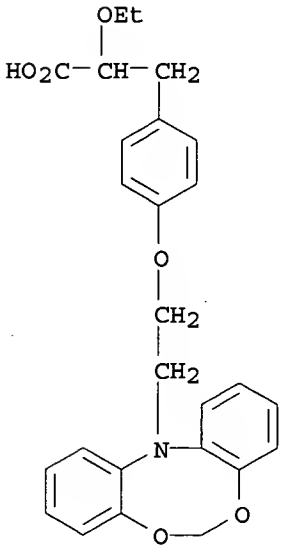
RN 265303-76-2 CAPLUS
CN Benzenepropanoic acid, 4-[3-(12H-dibenzo[d,g][1,3,6]dioxazocin-12-yl)propoxy]-.alpha.-propoxy- (9CI) (CA INDEX NAME)



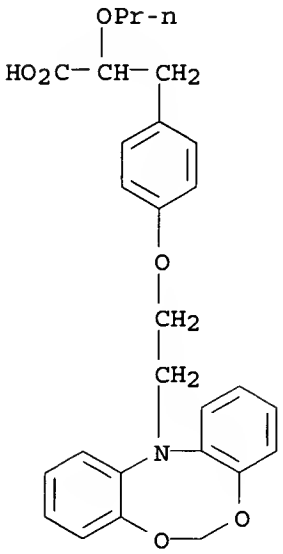
RN 265303-78-4 CAPLUS
CN Benzenepropanoic acid, 4-[3-(12H-dibenzo[d,g][1,3,6]dioxazocin-12-yl)propoxy]-.alpha.-(phenylmethoxy)- (9CI) (CA INDEX NAME)



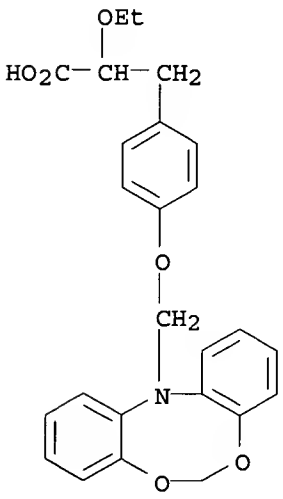
RN 265303-87-5 CAPLUS
CN Benzenepropanoic acid, 4-[2-(12H-dibenzo[d,g][1,3,6]dioxazocin-12-yl)ethoxy]-.alpha.-ethoxy- (9CI) (CA INDEX NAME)



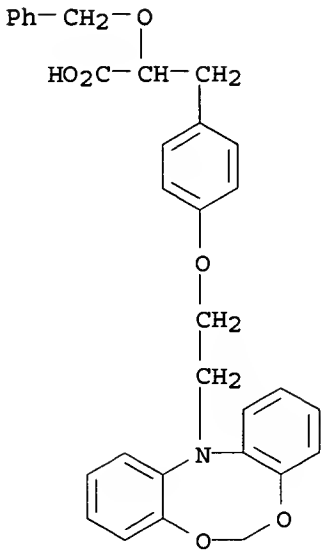
RN 265303-89-7 CAPLUS
CN Benzenepropanoic acid, 4-[2-(12H-dibenzo[d,g][1,3,6]dioxazocin-12-yl)ethoxy]-.alpha.-propoxy- (9CI) (CA INDEX NAME)



RN 265303-91-1 CAPLUS
CN Benzenepropanoic acid, 4-(12H-dibenzo[d,g][1,3,6]dioxazocin-12-ylmethoxy)-.alpha.-ethoxy- (9CI) (CA INDEX NAME)



RN 265303-93-3 CAPLUS
CN Benzenepropanoic acid, 4-[2-(12H-dibenzo[d,g][1,3,6]dioxazocin-12-yl)ethoxy]-.alpha.-(phenylmethoxy)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 3 OF 33 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:565911 CAPLUS
DOCUMENT NUMBER: 131:179801
TITLE: P-glycoprotein and MRP inhibitors for chemosensitizing multidrug resistant tumor cells
INVENTOR(S): Smith, Charles
PATENT ASSIGNEE(S): Fox Chase Cancer Center, USA
SOURCE: PCT Int. Appl., 30 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9943323	A1	19990902	WO 1999-US4439	19990226
W: CA, JP, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 6248752	B1	20010619	US 1999-257829	19990225

PRIORITY APPLN. INFO.: US 1998-76212P P 19980227
OTHER SOURCE(S): MARPAT 131:179801

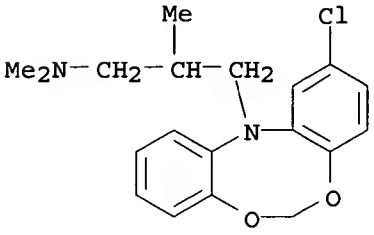
AB Various compds., such as dihydropyridines, thiaxanthenes, phenothiazines, cyclosporines and acridonecarboxamides, effective in sensitizing drug resistant tumor cells are disclosed which are useful in cancer therapy. The compds. of the invention are ether: (1) selective inhibitors of P-glycoprotein function, (2) selective inhibitors of MRP function, or (3) dual inhibitors of both transporters. The compds. increased the toxicity of antitumor drug, e.g. actinomycin D toward P-glycoprotein-mediated multidrug resistant cells MCF-7/ADR and/or vincristine toward MRP-mediated multidrug resistant cells HL-60/ADR. Most of the compds. tested have low intrinsic cytotoxicity (<20% of cells killed by doses of 10 .mu.g/mL).

IT **103624-59-5**
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(P-glycoprotein and MRP inhibitors for chemosensitizing multidrug resistant tumor cells)

RN 103624-59-5 CAPLUS

CN 12H-Dibenzo[d,g][1,3,6]dioxazocine-12-propanamine, 2-chloro-N,N,.beta.-trimethyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 4 OF 33 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1998:275269 CAPLUS

DOCUMENT NUMBER: 128:283003

TITLE: Synthesis of Conduramines from N-tert-Butoxycarbonylpyrrole

AUTHOR(S): Leung-Toung, Regis; Liu, Yanzhou; Muchowski, Joseph M.; Wu, Yu-Lin

CORPORATE SOURCE: Institute of Organic Chemistry, Syntex Research, Palo Alto, CA, 94304, USA

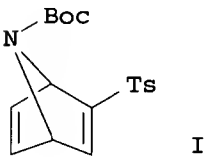
SOURCE: J. Org. Chem. (1998), 63(10), 3235-3250
CODEN: JOCEAH; ISSN: 0022-3263

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



I

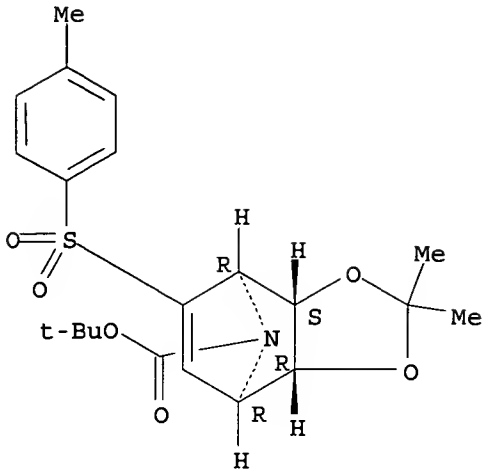
AB Two related synthetic strategies were devised to convert the Diels-Alder adduct I of Boc-pyrrole and p-toluenesulfonylacetylene into various racemic and optically pure conduramines. (-)-Conduramine C-1 and (+)-conduramine D-1 were also prepd. by procedures identical to those used for the racemic compds.

IT **155148-97-3P 155148-98-4P 155239-05-7P 205498-69-7P 205498-71-1P 205498-73-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(synthesis of conduramines from N-tert-butoxycarbonylpyrrole)

RN 155148-97-3 CAPLUS

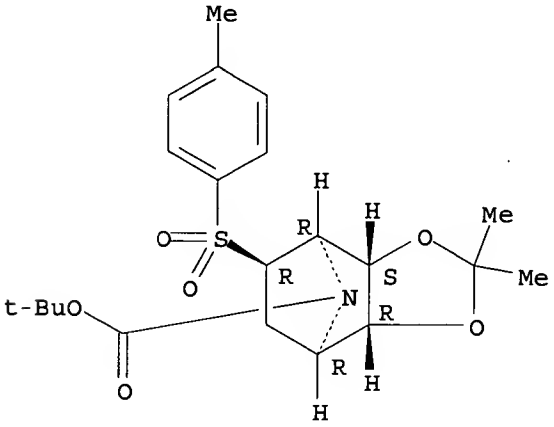
CN 1,3-Benzodioxol-4,7-imine-8-carboxylic acid, 3a,4,7,7a-tetrahydro-2,2-dimethyl-5-[(4-methylphenyl)sulfonyl]-, 1,1-dimethylethyl ester, (3a.alpha.,4.beta.,7.beta.,7a.alpha.)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



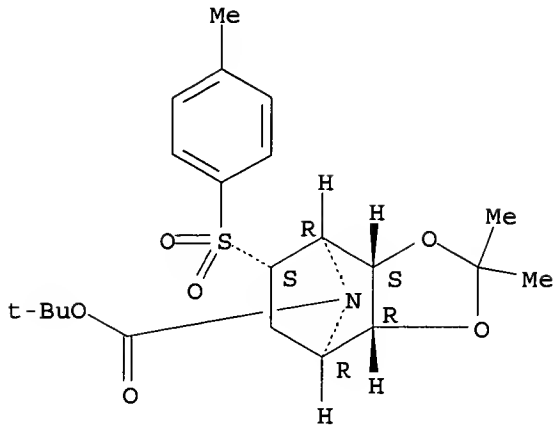
RN 155148-98-4 CAPLUS
CN 1,3-Benzodioxol-4,7-imine-8-carboxylic acid, hexahydro-2,2-dimethyl-5-[(4-methylphenyl)sulfonyl]-, 1,1-dimethylethyl ester, (3a.alpha.,4.beta.,5.alpha.,7.beta.,7a.alpha.)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



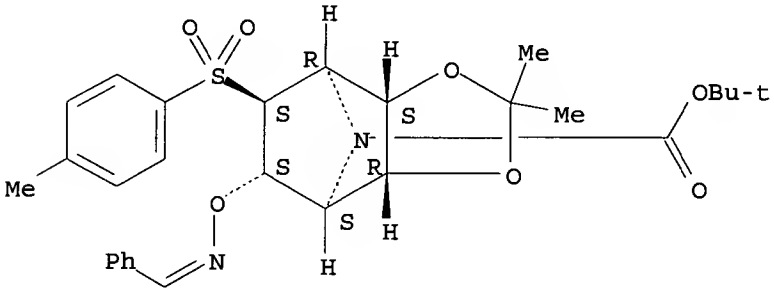
RN 155239-05-7 CAPLUS
CN 1,3-Benzodioxol-4,7-imine-8-carboxylic acid, hexahydro-2,2-dimethyl-5-[(4-methylphenyl)sulfonyl]-, 1,1-dimethylethyl ester, (3a.alpha.,4.beta.,5.beta.,7.beta.,7a.alpha.)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



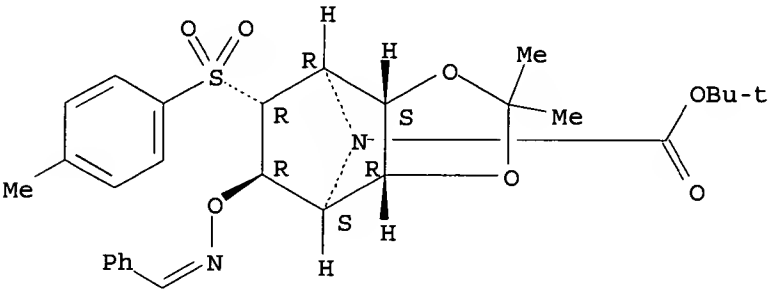
RN 205498-69-7 CAPLUS
CN 1,3-Benzodioxol-4,7-imine-8-carboxylic acid, hexahydro-2,2-dimethyl-5-[(4-methylphenyl)sulfonyl]-6-[[(phenylmethylene)amino]oxy]-, 1,1-dimethylethyl ester, (3a.alpha.,4.beta.,5.alpha.,6.beta.,7.beta.,7a.alpha.)- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.



RN 205498-71-1 CAPLUS
CN 1,3-Benzodioxol-4,7-imine-8-carboxylic acid, hexahydro-2,2-dimethyl-5-[(4-methylphenyl)sulfonyl]-6-[[(phenylmethylene)amino]oxy]-, 1,1-dimethylethyl ester, (3a.alpha.,4.beta.,5.beta.,6.alpha.,7.beta.,7a.alpha.)- (9CI) (CA INDEX NAME)

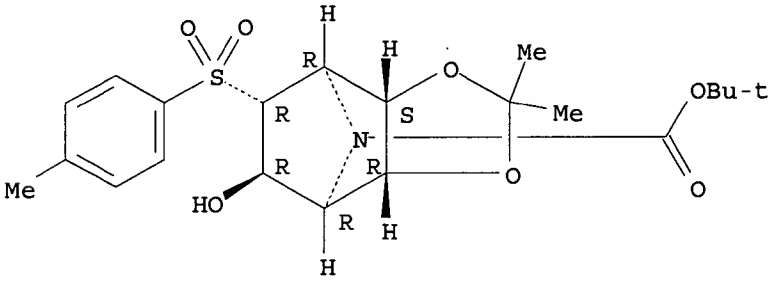
Relative stereochemistry.
Double bond geometry unknown.



RN 205498-73-3 CAPLUS

CN 1,3-Benzodioxol-4,7-imine-8-carboxylic acid, hexahydro-5-hydroxy-2,2-dimethyl-6-[(4-methylphenyl)sulfonyl]-, 1,1-dimethylethyl ester, (3a.alpha.,4.beta.,5.alpha.,6.beta.,7.beta.,7a.alpha.)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

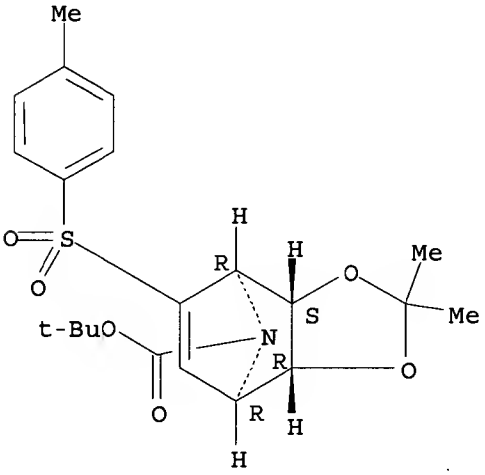


IT **205813-78-1P 205813-79-2P 205813-80-5P**
205813-81-6P 205813-82-7P 205813-83-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of conduramines from N-tert-butoxycarbonylpyrrole)

RN 205813-78-1 CAPLUS

CN 1,3-Benzodioxol-4,7-imine-8-carboxylic acid, 3a,4,7,7a-tetrahydro-2,2-dimethyl-5-[(4-methylphenyl)sulfonyl]-, 1,1-dimethylethyl ester, (3a.alpha.,4.beta.,7.beta.,7a.alpha.)-(-)- (9CI) (CA INDEX NAME)

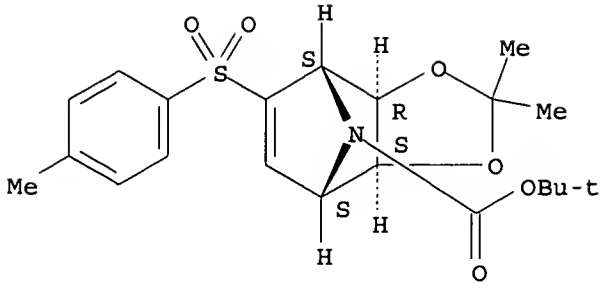
Rotation (-). Absolute stereochemistry unknown.



RN 205813-79-2 CAPLUS

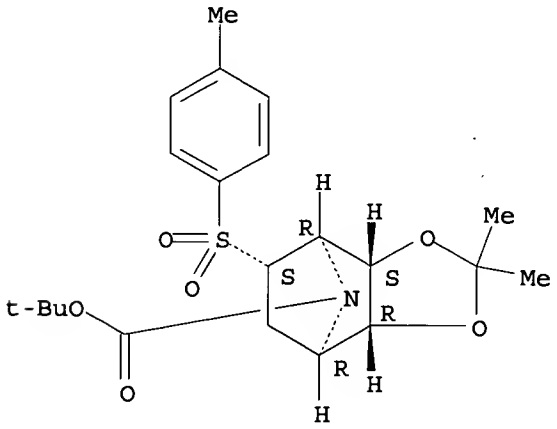
CN 1,3-Benzodioxol-4,7-imine-8-carboxylic acid, 3a,4,7,7a-tetrahydro-2,2-dimethyl-5-[(4-methylphenyl)sulfonyl]-, 1,1-dimethylethyl ester, (3a.alpha.,4.beta.,7.beta.,7a.alpha.)-(+)- (9CI) (CA INDEX NAME)

Rotation (+). Absolute stereochemistry unknown.



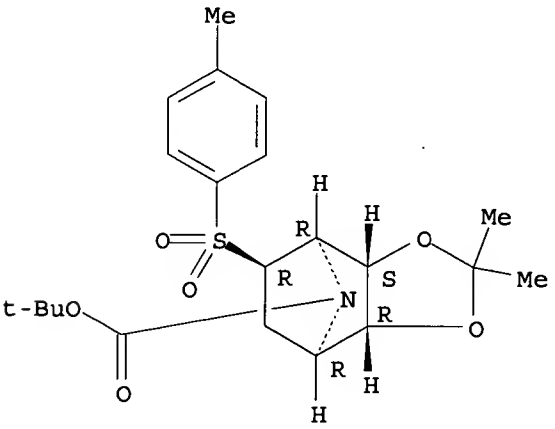
RN 205813-80-5 CAPLUS
CN 1,3-Benzodioxol-4,7-imine-8-carboxylic acid, hexahydro-2,2-dimethyl-5-[(4-methylphenyl)sulfonyl]-, 1,1-dimethylethyl ester, [3aS-(3a.alpha.,4.beta.,5.beta.,7.beta.,7a.alpha.)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 205813-81-6 CAPLUS
CN 1,3-Benzodioxol-4,7-imine-8-carboxylic acid, hexahydro-2,2-dimethyl-5-[(4-methylphenyl)sulfonyl]-, 1,1-dimethylethyl ester, [3aS-(3a.alpha.,4.beta.,5.alpha.,7.beta.,7a.alpha.)]- (9CI) (CA INDEX NAME)

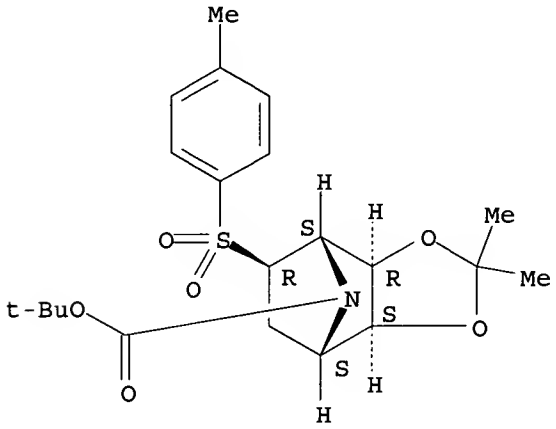
Absolute stereochemistry. Rotation (+).



RN 205813-82-7 CAPLUS
CN 1,3-Benzodioxol-4,7-imine-8-carboxylic acid, hexahydro-2,2-dimethyl-5-[(4-

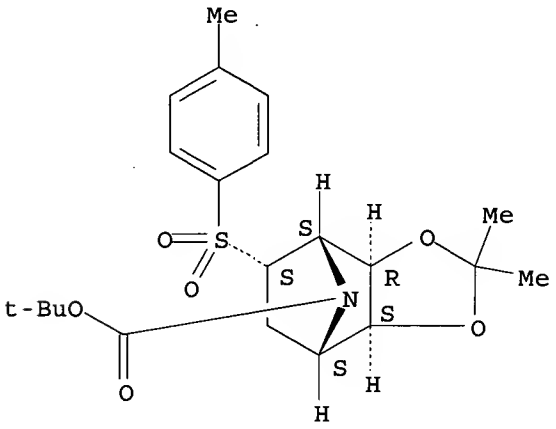
methylphenyl)sulfonyl]-, 1,1-dimethylethyl ester, [3aR-(3a.alpha.,4.beta.,5.beta.,7.beta.,7a.alpha.)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 205813-83-8 CAPLUS
CN 1,3-Benzodioxol-4,7-imine-8-carboxylic acid, hexahydro-2,2-dimethyl-5-[(4-methylphenyl)sulfonyl]-, 1,1-dimethylethyl ester, [3aR-(3a.alpha.,4.beta.,5.alpha.,7.beta.,7a.alpha.)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L7 ANSWER 5 OF 33 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1998:239219 CAPLUS
DOCUMENT NUMBER: 128:282847
TITLE: Preparation of 1,4-disubstituted piperazines for the treatment of painful, hyperalgesic and/or inflammatory conditions
INVENTOR(S): Hohlweg, Rolf; Madsen, Peter; Jorgensen, Tine Krogh; Andersen, Knud Erik; Watson, Brett; Polivka, Zdenek; Konigova, Otylie; Kovandova, Martina; Silhankova, Alexandra; Valenta, Vladimir
PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.
SOURCE: PCT Int. Appl., 59 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9815548	A1	19980416	WO 1997-DK422	19971002
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9743772	A1	19980505	AU 1997-43772	19971002
AU 740662	B2	20011108		
EP 934312	A1	19990811	EP 1997-941884	19971002
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
BR 9712196	A	19990831	BR 1997-12196	19971002
CN 1234799	A	19991110	CN 1997-199184	19971002
JP 2001502307	T2	20010220	JP 1998-517093	19971002
ZA 9708864	A	19980406	ZA 1997-8864	19971003
US 5916889	A	19990629	US 1997-943726	19971003
US 6004961	A	19991221	US 1999-271785	19990318
US 6040302	A	20000321	US 1999-271565	19990318
US 6133268	A	20001017	US 1999-271564	19990318
NO 9901565	A	19990604	NO 1999-1565	19990330
KR 2000048899	A	20000725	KR 1999-702928	19990403

PRIORITY APPLN. INFO.: DK 1996-1090 A 19961004
WO 1997-DK422 W 19971002
US 1997-943726 A3 19971003

OTHER SOURCE(S): MARPAT 128:282847

GI

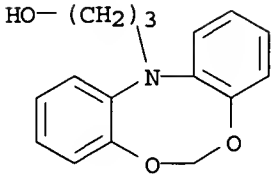
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; R1, R2 = H, halo, CF3, etc.; X = o-phenylene, O, S, etc.; Y = N-CH2-, CH-CH2-, C:CH-, CH-O- (only the first atom participates in the ring system); r = 1-3; Z = II-V (M1, M2 = C, N; R5 = H, C1-6 alkyl, PhCH2, Ph; R3 = H, halo, CF3, NO2, CN; R4 = H, halo, CF3, etc.)] and their salts, useful for the clin. treatment of painful, hyperalgesic and/or inflammatory conditions in which C-fibers play a pathophysiol. role such as e.g. neurogenic pain, inflammation, migraine, neuropathy, itching and rheumatoid arthritis, as well as for the treatment of indications caused by or related to the secretion and circulation of insulin antagonizing peptides, e.g. non-insulin-dependent diabetes mellitus (NIDDM) and ageing-assocd. obesity, were prepd. and formulated. Thus, reaction of 6-(1-piperazinyl)-2-pyridinecarboxylic acid Et ester (prepn. described) with (10,11-dihydro-5H-dibenzo[b,f]acepin-5-yl)-1-Pr methanesulfonate in the presence of K2CO3 in Me2CO followed by hydrolysis of the resulting ester with NaOH in H2O/EtOH afforded the title compd. VI.HCl which showed 61% inhibition of histamine induced pain response at 1.0 mg/kg.

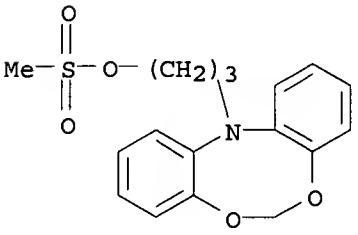
IT **205925-40-2P 205925-41-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of 1,4-disubstituted piperazines for the treatment of painful, hyperalgesic and/or inflammatory conditions)

RN 205925-40-2 CAPLUS

CN 12H-Dibenzo[d,g][1,3,6]dioxazocine-12-propanol (9CI) (CA INDEX NAME)



RN 205925-41-3 CAPLUS
CN 12H-Dibenzo[d,g][1,3,6]dioxazocine-12-propanol, methanesulfonate (ester) (9CI) (CA INDEX NAME)



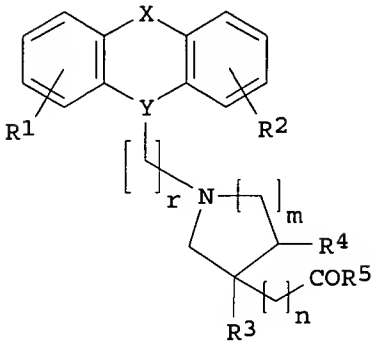
L7 ANSWER 6 OF 33 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1996:728964 CAPLUS
DOCUMENT NUMBER: 126:7999
TITLE: Preparation of N-substituted 3-piperidinecarboxylic acids for treatment of neurogenic inflammation and insulin resistance in NIDDM or aging
INVENTOR(S): Andersen, Henrik Sune; Andersen, Knud Erik; Hohlweg, Rolf; Madsen, Peter; Joergensen, Tine Krogh; Olsen, Uffe Bang
PATENT ASSIGNEE(S): Novo Nordisk A/s, Den.
SOURCE: PCT Int. Appl., 42 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9631499	A1	19961010	WO 1996-DK140	19960401
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML				
US 5716949	A	19980210	US 1996-625562	19960328
CA 2217130	AA	19961010	CA 1996-2217130	19960401
AU 9651004	A1	19961023	AU 1996-51004	19960401
EP 869954	A1	19981014	EP 1996-907328	19960401
EP 869954	B1	20010919		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 11503128	T2	19990323	JP 1996-529869	19960401
AT 205843	E	20011015	AT 1996-907328	19960401
ZA 9602736	A	19961016	ZA 1996-2736	19960404
US 5753643	A	19980519	US 1997-862169	19970522

PRIORITY APPLN. INFO.: DK 1995-406 A 19950407
DK 1995-1003 A 19950911
US 1996-625562 A3 19960328

WO 1996-DK140 W 19960401
OTHER SOURCE(S): MARPAT 126:7999

GI



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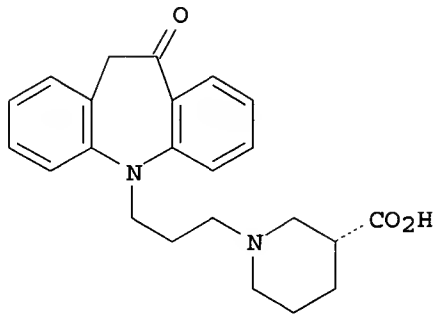


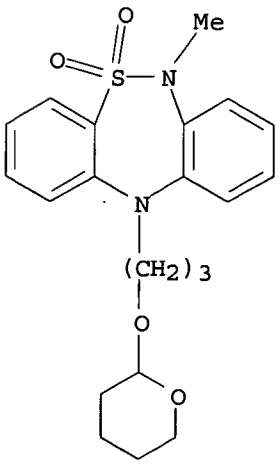
II

AB The title compds. [I; R1, R2 = H, halo, CF3, etc.; Y = N(CH2), CH(CH2), C(:CH) (group in brackets does not participate in the ring system); X = CH2C(O), C(O)CH2, CH2S, etc.; r = 1-3; m = 1-2; n = 1 when m = 1; n = 0 when m = 2; R3, R4 = H, bond (when m = 2); R5 = OH, C1-6 alkoxy] and their salts, useful for the clin. treatment of painful, hyperalgesic and/or inflammatory conditions in which C-fibers play a pathophysiol. role by eliciting neurogenic pain or inflammation, were prepd. and formulated. Thus, treatment of 10-methoxy-5H-dibenz[b,f]azepine/THF with BuLi/hexanes followed by addn. of Br(CH2)3Cl/THF, reaction of the resulting 1-chloro-3-(10-methoxy-10,11-dihydro-5H-dibenzo[b,f]azepin-5-yl)propane with Et (R)-3-piperidinecarboxylate tartrate in the presence of K2CO3, KI in MeC(O)Et and hydrolysis of the ester group afforded (R)-II.HCl which showed 21% inhibition of formalin induced pain response at 0.1 mg/kg.

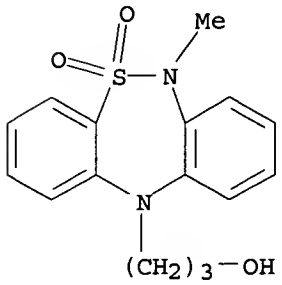
IT **183787-72-6P 183787-73-7P 183787-74-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of N-substituted 3-piperidinecarboxylic acids for treatment of neurogenic inflammation and insulin resistance in NIDDM or aging)

RN 183787-72-6 CAPLUS

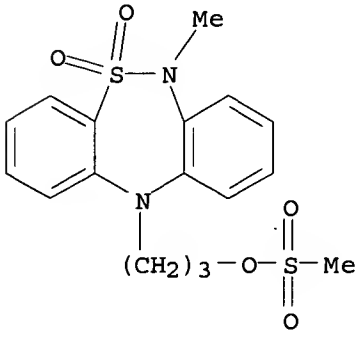
CN Dibenzo[c,f][1,2,5]thiadiazepine, 6,11-dihydro-6-methyl-11-[3-[(tetrahydro-2H-pyran-2-yl)oxy]propyl]-, 5,5-dioxide (9CI) (CA INDEX NAME)



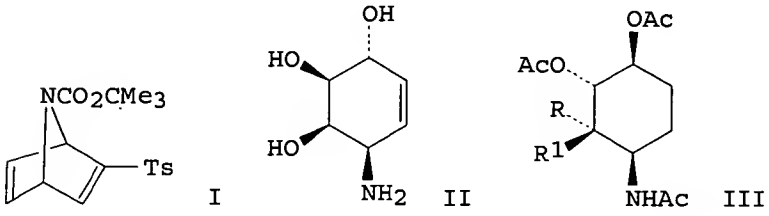
RN 183787-73-7 CAPLUS
CN Dibenzo[c,f][1,2,5]thiadiazepine-11(6H)-propanol, 6-methyl-, 5,5-dioxide (9CI) (CA INDEX NAME)



RN 183787-74-8 CAPLUS
CN Dibenzo[c,f][1,2,5]thiadiazepine-11(6H)-propanol, 6-methyl-, methanesulfonate (ester), 5,5-dioxide (9CI) (CA INDEX NAME)



L7 ANSWER 7 OF 33 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1994:324065 CAPLUS
DOCUMENT NUMBER: 120:324065
TITLE: Synthesis of (.+-.)-conduramines from pyrrole
AUTHOR(S): Leung-Toung, Regis; Liu, Yanzhou; Muchowski, Joseph M.; Wu, Yu Lin
CORPORATE SOURCE: Inst. Org. Chem., Syntex Res., Palo Alto, CA, 94304, USA
SOURCE: Tetrahedron Lett. (1994), 35(11), 1639-42
CODEN: TELEAY; ISSN: 0040-4039
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

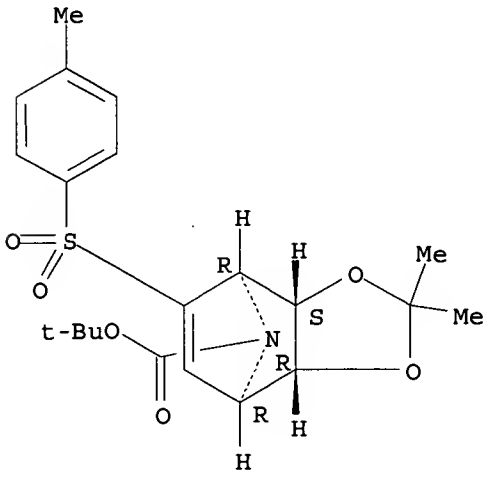


AB The Diels-Alder product I, of tosylacetylene and N-tert-Boc-pyrrole, was converted into (.+-.)-conduramine C-1 II and the tetraacetates of (.+-.)-conduramine A-1 III (R = OAc, R1 = H) and F-1 III (R = H, R1 = OAc).

IT **155148-97-3P 155148-98-4P 155239-05-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction of, in synthesis of conduramines)

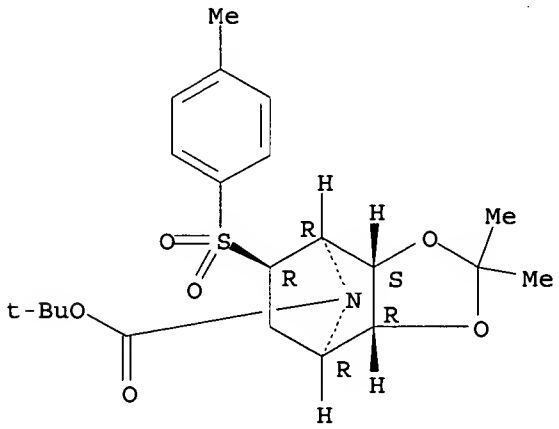
RN 155148-97-3 CAPLUS
CN 1,3-Benzodioxol-4,7-imine-8-carboxylic acid, 3a,4,7,7a-tetrahydro-2,2-dimethyl-5-[(4-methylphenyl)sulfonyl]-, 1,1-dimethylethyl ester, (3a.alpha.,4.beta.,7.beta.,7a.alpha.)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



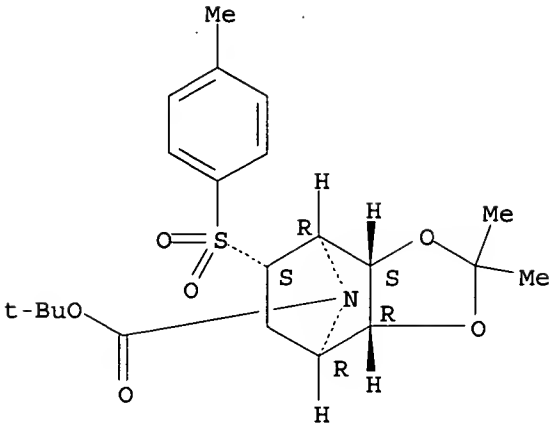
RN 155148-98-4 CAPLUS
CN 1,3-Benzodioxol-4,7-imine-8-carboxylic acid, hexahydro-2,2-dimethyl-5-[(4-methylphenyl)sulfonyl]-, 1,1-dimethylethyl ester, (3a.alpha.,4.beta.,5.alpha.,7.beta.,7a.alpha.)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 155239-05-7 CAPLUS
CN 1,3-Benzodioxol-4,7-imine-8-carboxylic acid, hexahydro-2,2-dimethyl-5-[(4-methylphenyl)sulfonyl]-, 1,1-dimethylethyl ester, (3a.alpha.,4.beta.,5.beta.,7.beta.,7a.alpha.)- (9CI) (CA INDEX NAME)

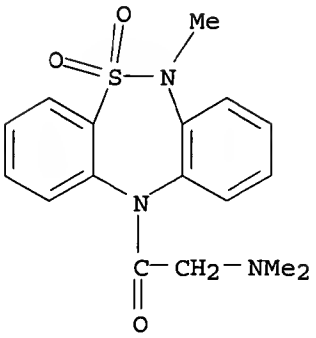
Relative stereochemistry.



L7 ANSWER 8 OF 33 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1992:483366 CAPLUS
DOCUMENT NUMBER: 117:83366
TITLE: Interaction of antidepressants with 4-aminopyridine
AUTHOR(S): Volterra, Giovanna; Lecci, Alessandro
CORPORATE SOURCE: Pharmacol. Res. Dep., "A. Menarini" Pharm., Florence, 50131, Italy
SOURCE: Life Sci. (1992), 51(6), PL53-PL56
CODEN: LIFSAK; ISSN: 0024-3205
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Systemic administration of 4-aminopyridine at a dose of 4 mg/kg (4-AP) induces hypothermia in mice. Scopolamine (ED50 = 0.26 mg/kg) and two tricyclic antidepressants, desipramine (ED50 = 1.82 mg/kg) and IM/P/3/4 (ED50 = 8.95 mg/kg) completely antagonize 4-AP-induced hypothermia, whereas minaprine (0.1-0.25 mg/kg), a nontricyclic antidepressant, reverts only 45% of the maximal effect of 4-AP. Oxotremorine at a dose of 0.05 mg/kg (OXO) induces a hypothermic effect comparable to that of 4-AP. Scopolamine (ED50 = 0.011 mg/kg) completely reverts OXO-induced hypothermia whereas desipramine and IM/P/3/4 never produce more than 60% of antagonism over a wide range of doses. Minaprine does not affect OXO-induced hypothermia. These results suggest that the interaction of antidepressants with cholinergic function occurs mainly at the pre-synaptic level.

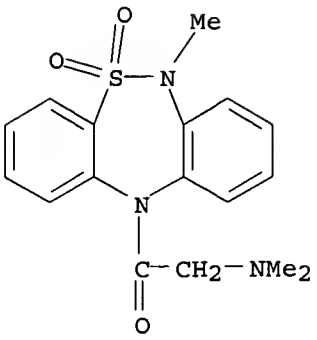
IT **128377-70-8**, IM/P/3/4
RL: BIOL (Biological study)
(hypothermia from aminopyridine response to, anticholinergic activity in)
RN 128377-70-8 CAPLUS
CN Dibenzo[c,f][1,2,5]thiadiazepine, 11-[(dimethylamino)acetyl]-6,11-dihydro-6-methyl-, 5,5-dioxide (9CI) (CA INDEX NAME)



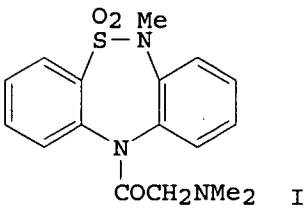
L7 ANSWER 9 OF 33 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1992:462824 CAPLUS
DOCUMENT NUMBER: 117:62824
TITLE: m-Trifluoromethylphenylpiperazine and m-chlorophenylpiperazine-induced hypothermia in mice is reversed by tricyclic antidepressants and other drugs
AUTHOR(S): Volterra, Giovanna; Cutrufo, Corrado; Lecci, Alessandro
CORPORATE SOURCE: Pharmacol. Res. Div., A. Menarini Farm. S.r.l., Florence, 50131, Italy
SOURCE: Eur. Neuropsychopharmacol. (1991), 1(4), 519-28
CODEN: EURNE8; ISSN: 0924-977X
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Many antidepressants reverse arylpiperazine-induced hypothermia after acute treatment by a mechanism that does not seem to implicate monoamine uptake inhibition. Activity is found in reversing 1-(m-trifluoromethylphenyl)piperazine (TFMPP)-induced hypothermia by desipramine 5 and 10 mg/kg and not by maprotiline 10 and 20 mg/kg. Clomipramine and fluxoetine with comparable serotonin uptake blocking potential do not have comparable TFMPP-reversing effects. A dibenzothiadiazepine compd. (IM/P/3/4), hypothesized to have antidepressant activity though devoid of uptake blocking properties, was active at 10 and 20 mg/kg. Other classes of tricyclics such as neuroleptics (clozapine 5 and 10 mg/kg) and chlorpromazine (2 and 10 mg/kg) and the H1 antihistamines, promethazine (20 mg/kg) and cyproheptadine (10 mg/kg) are active, as well as the calcium antagonists nifedipine (10 mg/kg) and verapamil (10 mg/kg). The authors hypothesize that properties other than monoamine-uptake block which these compds. share (such as calcium-uptake inhibition) could be involved. Activity was also seen with the 5-HT1A agonists 8-hydroxy-2-(di-n-propylamino)tetralin (8-OH-DPAT, at 0.05 and 0.25 mg/kg), and 5-methoxy-N,N-dimethyltryptamine (5-MeODMT at 3 mg/kg) as well as with the muscarinic agonist oxotremorine (0.1 mg/kg). Antidepressants and calcium channel antagonists also reversed m-chlorophenylpiperazine-induced hypothermia.

IT **128377-70-8**, IM/P/3/4
RL: BIOL (Biological study)
(hyperthermia from arylpiperazine response to)
RN 128377-70-8 CAPLUS
CN Dibenzo[c,f][1,2,5]thiadiazepine, 11-[(dimethylamino)acetyl]-6,11-dihydro-6-methyl-, 5,5-dioxide (9CI) (CA INDEX NAME)



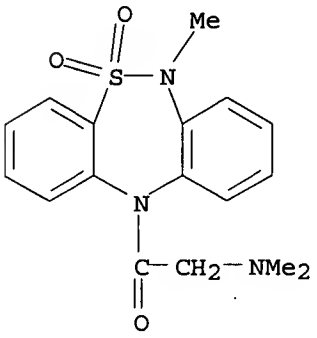
L7 ANSWER 10 OF 33 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1991:464614 CAPLUS
DOCUMENT NUMBER: 115:64614
TITLE: Potential antidepressant activity and enhancement of serotonin uptake of a new dibenzothiadiazepine derivative
AUTHOR(S): Borsini, F.; Volterra, G.; Lecci, A.; Evangelista, S.; Mancinelli, A.; Cutrufo, C.; Parlani, M.; Mennini, T.; Barone, D.; Meli, A.
CORPORATE SOURCE: Res. Dep., Menarini Pharm., Florence, I-50131, Italy
SOURCE: Arzneim.-Forsch. (1991), 41(6), 573-80
CODEN: ARZNAD; ISSN: 0004-4172
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



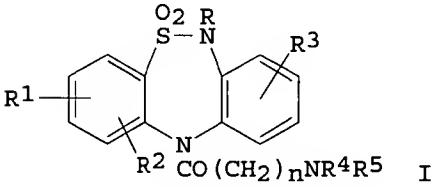
I

AB The novel agent IM/P/314 (I) has an antidepressive potential without the common side-effects of antidepressive medication. I was active in antagonizing apomorphine (16 mg/kg) and reserpine-induced hypothermia in mice, in potentiating yohimbine-induced lethality in mice, and in reducing immobility of rats forced to swim and of mice suspended by the tail. I did not affect apomorphine-induced stereotypy amphetamine-induced hypermotility haloperidol-induced catalepsy, water-induced grooming, and did not induce stereotypy or alter motor activity. The compd. reduced the beating of rats of rat right heart atria only at a concn. of 3 .times. 10-4M, had weak anticholinergic activity, antagonized electroshock-induced convulsions, and prevented indomethacin-induced duodenal ulcers. I did not have a good affinity for noradrenergic, serotonergic, dopaminergic, histaminergic, or muscarinic receptors and did not displace imipramine, desipramine, and mianserine from their binding sites. I increased 5-hydroxyindolacetic acid content and [3H]serotonin uptake in the brain hypothalamus. I is a potential antidepressant with reduced side-effects and a mechanism of action different from those of other antidepressants.
IT **128377-70-8**, IM/P 3/4
RL: BIOL (Biological study)

(antidepressant pharmacol. of)
RN 128377-70-8 CAPLUS
CN Dibenzo[c,f][1,2,5]thiadiazepine, 11-[(dimethylamino)acetyl]-6,11-dihydro-6-methyl-, 5,5-dioxide (9CI) (CA INDEX NAME)



L7 ANSWER 11 OF 33 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1991:429270 CAPLUS
DOCUMENT NUMBER: 115:29270
TITLE: New dibenzothiadiazepine derivatives with antidepressant activities
AUTHOR(S): Giannotti, Danilo; Viti, Giovanni; Sbraci, Piero; Pestellini, Vittorio; Volterra, Giovanna; Borsini, Franco; Lecci, Alessandro; Meli, Alberto; Dapporto, Paolo; Paoli, Paola
CORPORATE SOURCE: Dip. Ric. Chim. Farmacol., A. Menarini Ind. Farm. Riunite S.r.l., Florence, 50131, Italy
SOURCE: J. Med. Chem. (1991), 34(4), 1356-62
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 115:29270
GI

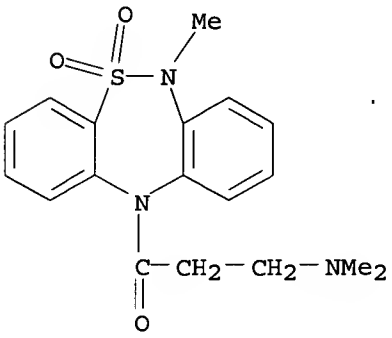


I

AB 11-[(Aminoalkyl)]carbonyl-6,11-dihydrodibenzo[c,f][1,2,5]thiadiazepine-5,5-dioxides I [R = Me, Et, Pr; R1 = H, 2-Cl, 3-Cl, 2-OMe, 2-CF3, 2-Me; R2 = H, 3-Me; R3 = H, 9-Cl, 8-Cl, 9-Me; R4 = R5 = H, Me, Et; R4 = H, R5 = CHMe2, CMe3; NR4R5 = 4-methylpiperazin-1-yl, 4-phenylpiperazin-1-yl, 1-pyrrolidinyl, 4-(2-hydroxyyethyl)piperazin-1-yl, 4-(2-pyrimidyl)piperazin-1-yl; n = 0-2] were prepd. and evaluated for potential antidepressant activity in the apomorphine-induced hypothermia test. Effects on reserpine-induced hypothermia and toxicity for the most potent antagonists of apomorphine-induced hypothermia were studied. Structure-activity relationships are reported. The conformation and anticholinergic effects of I (R = Me, R1 = R2 = R3 = H, R4 = R5 = Me, n = 1), the most potent and least toxic compd., were also studied.
IT **128377-67-3P 128377-75-3P 128377-76-4P**

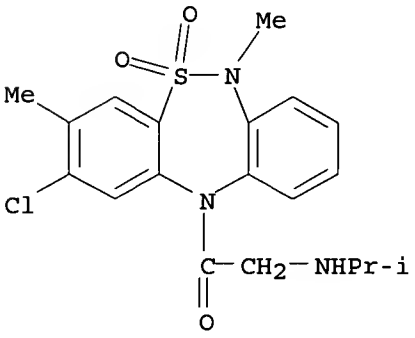
128377-77-5P 128377-78-6P 128377-79-7P
128377-80-0P 128377-81-1P 128377-82-2P
128377-86-6P 128377-87-7P 128377-88-8P
128377-89-9P 128377-90-2P 128377-91-3P
128377-92-4P 128378-05-2P 128378-07-4P
128400-08-8P 132749-93-0P 132749-94-1P
132749-96-3P 132749-97-4P 132749-98-5P
132749-99-6P 132750-00-6P 132750-01-7P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and antidepressant activity of)

RN 128377-67-3 CAPLUS
CN Dibenzo[c,f][1,2,5]thiadiazepine, 11-[3-(dimethylamino)-1-oxopropyl]-6,11-dihydro-6-methyl-, 5,5-dioxide, monohydrochloride (9CI) (CA INDEX NAME)



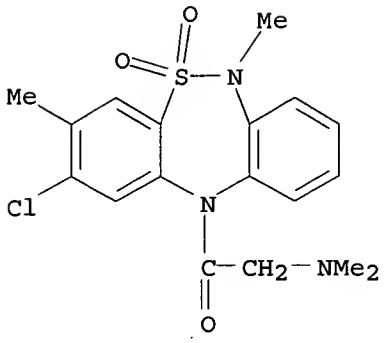
● HCl

RN 128377-75-3 CAPLUS
CN Dibenzo[c,f][1,2,5]thiadiazepine, 2-chloro-6,11-dihydro-3,6-dimethyl-11-[[(1-methylethyl)amino]acetyl]-, 5,5-dioxide, monohydrochloride (9CI) (CA INDEX NAME)



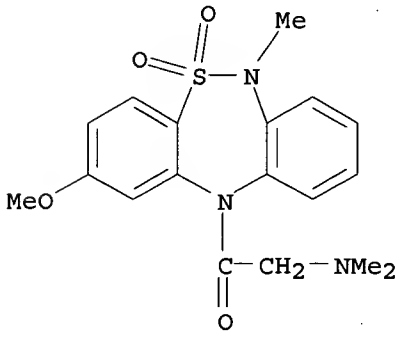
HCl

RN 128377-76-4 CAPLUS
CN Dibenzo[c,f][1,2,5]thiadiazepine, 2-chloro-11-[(dimethylamino)acetyl]-6,11-dihydro-3,6-dimethyl-, 5,5-dioxide, monohydrochloride (9CI) (CA INDEX NAME)



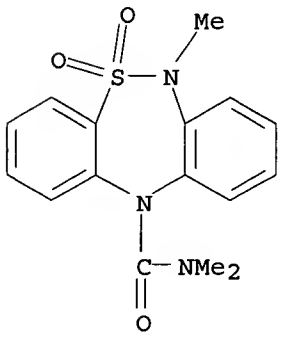
● HCl

RN 128377-77-5 CAPLUS
CN Dibenzo[c,f][1,2,5]thiadiazepine, 11-[(dimethylamino)acetyl]-6,11-dihydro-2-methoxy-6-methyl-, 5,5-dioxide, monohydrochloride (9CI) (CA INDEX NAME)



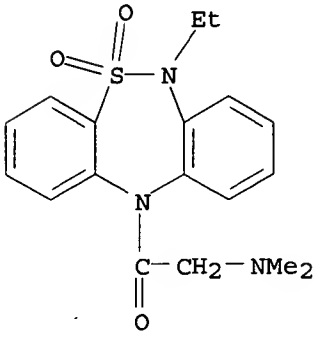
● HCl

RN 128377-78-6 CAPLUS
CN Dibenzo[c,f][1,2,5]thiadiazepine-11(6H)-carboxamide, N,N,6-trimethyl-, 5,5-dioxide (9CI) (CA INDEX NAME)

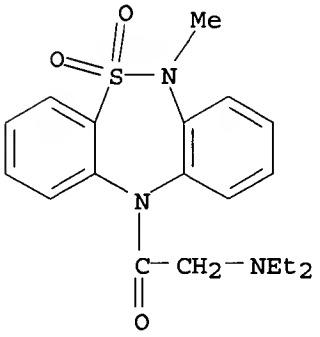


RN 128377-79-7 CAPLUS

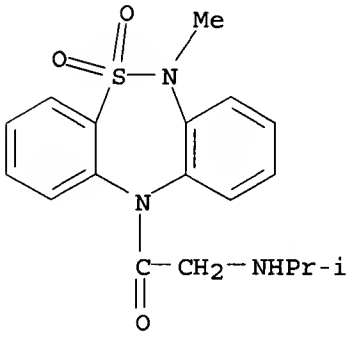
CN Dibenzo[c,f][1,2,5]thiadiazepine, 11-[(dimethylamino)acetyl]-6-ethyl-6,11-dihydro-, 5,5-dioxide (9CI) (CA INDEX NAME)



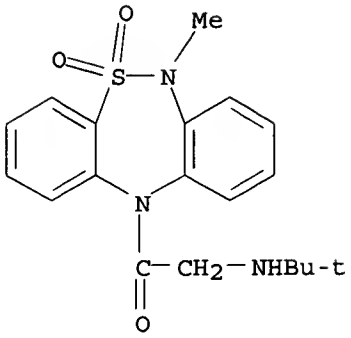
RN 128377-80-0 CAPLUS
CN Dibenzo[c,f][1,2,5]thiadiazepine, 11-[(diethylamino)acetyl]-6,11-dihydro-6-methyl-, 5,5-dioxide (9CI) (CA INDEX NAME)



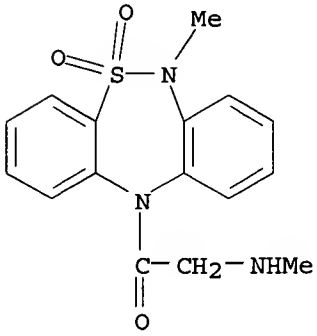
RN 128377-81-1 CAPLUS
CN Dibenzo[c,f][1,2,5]thiadiazepine, 6,11-dihydro-6-methyl-11-[[(1-methylethyl)amino]acetyl]-, 5,5-dioxide (9CI) (CA INDEX NAME)



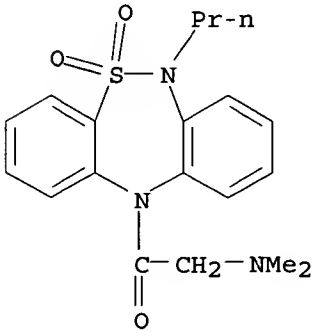
RN 128377-82-2 CAPLUS
CN Dibenzo[c,f][1,2,5]thiadiazepine, 11-[[(1,1-dimethylethyl)amino]acetyl]-6,11-dihydro-6-methyl-, 5,5-dioxide (9CI) (CA INDEX NAME)



RN 128377-86-6 CAPLUS
CN Dibenzo[c,f][1,2,5]thiadiazepine, 6,11-dihydro-6-methyl-11-[(methylamino)acetyl]-, 5,5-dioxide (9CI) (CA INDEX NAME)

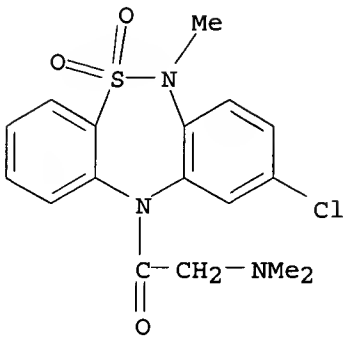


RN 128377-87-7 CAPLUS
CN Dibenzo[c,f][1,2,5]thiadiazepine, 11-[(dimethylamino)acetyl]-6,11-dihydro-6-propyl-, 5,5-dioxide, monohydrochloride (9CI) (CA INDEX NAME)

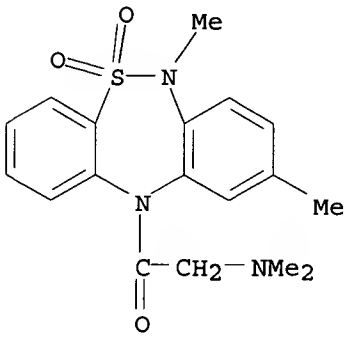


● HCl

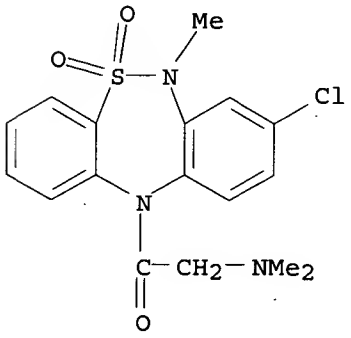
RN 128377-88-8 CAPLUS
CN Dibenzo[c,f][1,2,5]thiadiazepine, 9-chloro-11-[(dimethylamino)acetyl]-6,11-dihydro-6-methyl-, 5,5-dioxide (9CI) (CA INDEX NAME)



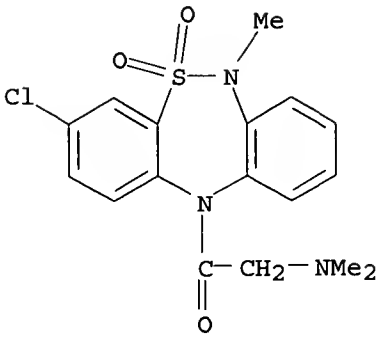
RN 128377-89-9 CAPLUS
CN Dibenzo[c,f][1,2,5]thiadiazepine, 11-[(dimethylamino)acetyl]-6,11-dihydro-6,9-dimethyl-, 5,5-dioxide (9CI) (CA INDEX NAME)



RN 128377-90-2 CAPLUS
CN Dibenzo[c,f][1,2,5]thiadiazepine, 8-chloro-11-[(dimethylamino)acetyl]-6,11-dihydro-6-methyl-, 5,5-dioxide (9CI) (CA INDEX NAME)

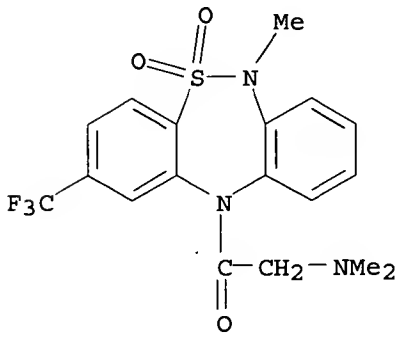


RN 128377-91-3 CAPLUS
CN Dibenzo[c,f][1,2,5]thiadiazepine, 3-chloro-11-[(dimethylamino)acetyl]-6,11-dihydro-6-methyl-, 5,5-dioxide, monohydrochloride (9CI) (CA INDEX NAME)

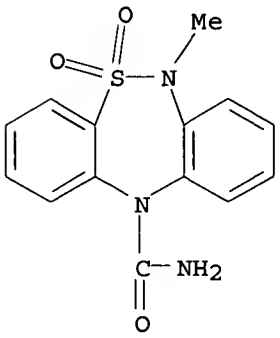


● HCl

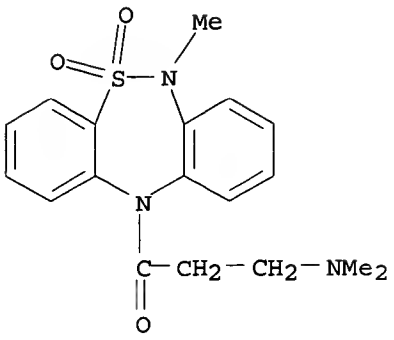
RN 128377-92-4 CAPLUS
CN Dibenzo[c,f][1,2,5]thiadiazepine, 11-[(dimethylamino)acetyl]-6,11-dihydro-6-methyl-2-(trifluoromethyl)-, 5,5-dioxide (9CI) (CA INDEX NAME)



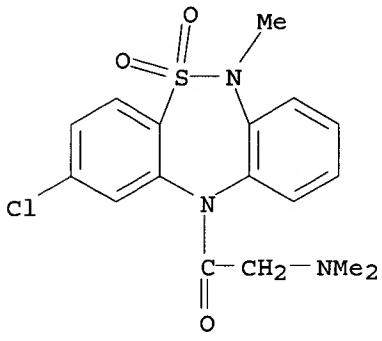
RN 128378-05-2 CAPLUS
CN Dibenzo[c,f][1,2,5]thiadiazepine-11(6H)-carboxamide, 6-methyl-, 5,5-dioxide (9CI) (CA INDEX NAME)



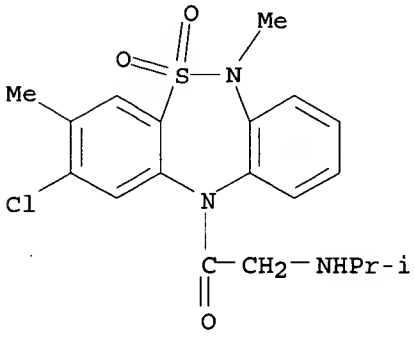
RN 128378-07-4 CAPLUS
CN Dibenzo[c,f][1,2,5]thiadiazepine, 11-[3-(dimethylamino)-1-oxopropyl]-6,11-dihydro-6-methyl-, 5,5-dioxide (9CI) (CA INDEX NAME)



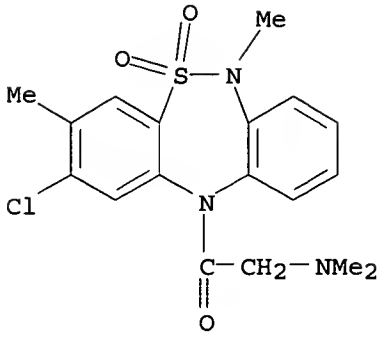
RN 128400-08-8 CAPLUS
CN Dibenzo[c,f][1,2,5]thiadiazepine, 2-chloro-11-[(dimethylamino)acetyl]-6,11-dihydro-6-methyl-, 5,5-dioxide (9CI) (CA INDEX NAME)



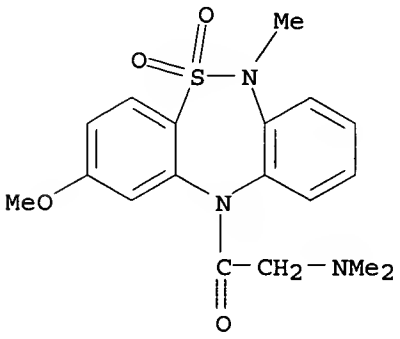
RN 132749-93-0 CAPLUS
CN Dibenzo[c,f][1,2,5]thiadiazepine, 2-chloro-6,11-dihydro-3,6-dimethyl-11-[[(1-methylethyl)amino]acetyl]-, 5,5-dioxide (9CI) (CA INDEX NAME)



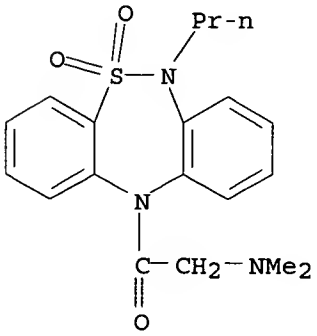
RN 132749-94-1 CAPLUS
CN Dibenzo[c,f][1,2,5]thiadiazepine, 2-chloro-11-[(dimethylamino)acetyl]-6,11-dihydro-3,6-dimethyl-, 5,5-dioxide (9CI) (CA INDEX NAME)



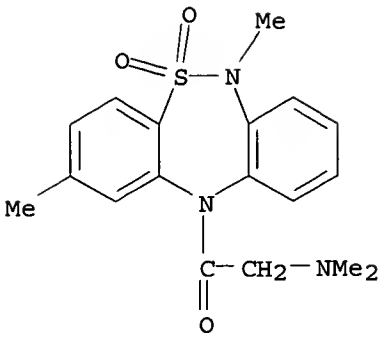
RN 132749-96-3 CAPLUS
CN Dibenzo[c,f][1,2,5]thiadiazepine, 11-[(dimethylamino)acetyl]-6,11-dihydro-2-methoxy-6-methyl-, 5,5-dioxide (9CI) (CA INDEX NAME)



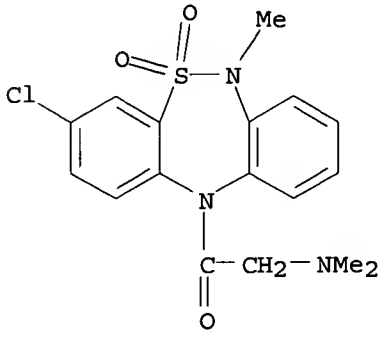
RN 132749-97-4 CAPLUS
CN Dibenzo[c,f][1,2,5]thiadiazepine, 11-[(dimethylamino)acetyl]-6,11-dihydro-6-propyl-, 5,5-dioxide (9CI) (CA INDEX NAME)



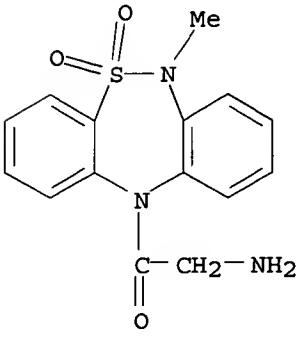
RN 132749-98-5 CAPLUS
CN Dibenzo[c,f][1,2,5]thiadiazepine, 11-[(dimethylamino)acetyl]-6,11-dihydro-2,6-dimethyl-, 5,5-dioxide (9CI) (CA INDEX NAME)



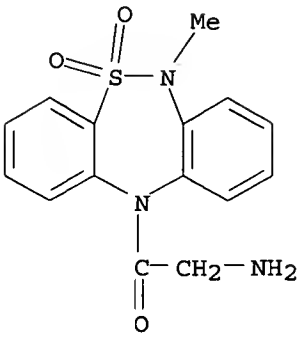
RN 132749-99-6 CAPLUS
CN Dibenzo[c,f][1,2,5]thiadiazepine, 3-chloro-11-[(dimethylamino)acetyl]-6,11-dihydro-6-methyl-, 5,5-dioxide (9CI) (CA INDEX NAME)



RN 132750-00-6 CAPLUS
CN Dibenzo[c,f][1,2,5]thiadiazepine, 11-(aminoacetyl)-6,11-dihydro-6-methyl-, 5,5-dioxide (9CI) (CA INDEX NAME)

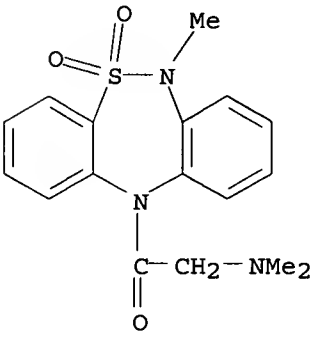


RN 132750-01-7 CAPLUS
CN Dibenzo[c,f][1,2,5]thiadiazepine, 11-(aminoacetyl)-6,11-dihydro-6-methyl-, 5,5-dioxide, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

IT **128377-70-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn., crystal structure and antidepressant activity of)
RN 128377-70-8 CAPLUS
CN Dibenzo[c,f][1,2,5]thiadiazepine, 11-[(dimethylamino)acetyl]-6,11-dihydro-6-methyl-, 5,5-dioxide (9CI) (CA INDEX NAME)



L7 ANSWER 12 OF 33 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1990:459239 CAPLUS
DOCUMENT NUMBER: 113:59239
TITLE: Preparation of antidepressant 6,11-dihydrodibenzo[c,f][1,2,5]thiadiazepine 5,5-dioxide derivatives
INVENTOR(S): Borsini, Franco; Meli, Alberto; Volterra, Giovanna; Gianotti, Danilo; Pestellini, Vittorio
PATENT ASSIGNEE(S): Menarini, A., Industrie Farmaceutiche Riunite S.r.l., Italy
SOURCE: Eur. Pat. Appl., 9 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

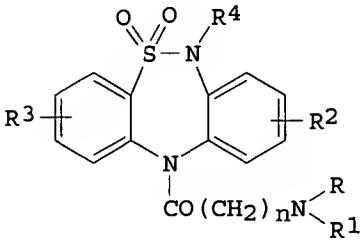
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 354885	A1	19900214	EP 1989-830360	19890810

R: AT, BE, CH, DE, ES, FR, GB, GR, LI, LU, NL, SE

ZA 8905888	A	19900425	ZA 1989-5888	19890802
AU 8939521	A1	19900215	AU 1989-39521	19890811
JP 02091063	A2	19900330	JP 1989-207046	19890811
US 5011833	A	19910430	US 1989-393517	19890811

PRIORITY APPLN. INFO.: IT 1988-9466 19880812
OTHER SOURCE(S): MARPAT 113:59239

GI



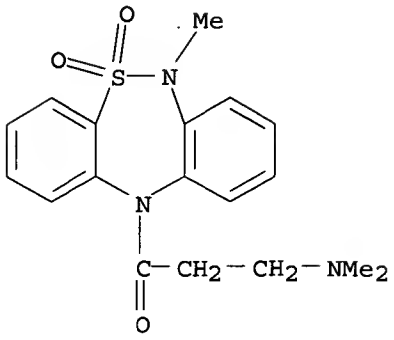
I

AB Title compds. I [R, R1 = H, (hydroxy)alkyl; or NRR1 = 5- or 6-membered heterocyclyl; R2, R3 = H, alkoxy, alkyl, NO2, (alkyl)amino, halo, haloalkyl, OH; R4 = H, alkyl, alkaryl, alkylamino; n = 0, 1, 2] were prepd. as psychotropics, esp. antidepressants. Thus, 6-methyl-6,11-dihydrodibenzo[c,f][1,2,5]thiadiazepine 5,5-dioxide was refluxed in ClCH2COCl to give 80% 11-chloroacetyl deriv.; this was treated with NaI in refluxing acetone and then with aq. Me2NH to give I (R = R1 = R4 = Me, R2 = R3 = H, n = 1) (II). The ED50 of II for counteracting apomorphine-induced hypothermia in mice was 13.5 mg/kg orally; potencies of I are greater and side effects much weaker than those of related compds. lacking the amide carbonyl.

IT **128377-67-3P 128377-70-8P 128377-75-3P**
128377-76-4P 128377-77-5P 128377-78-6P
128377-79-7P 128377-80-0P 128377-81-1P
128377-82-2P 128377-86-6P 128377-87-7P
128377-88-8P 128377-89-9P 128377-90-2P
128377-91-3P 128377-92-4P 128378-05-2P
128378-06-3P 128378-07-4P 128400-08-8P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as antidepressant)

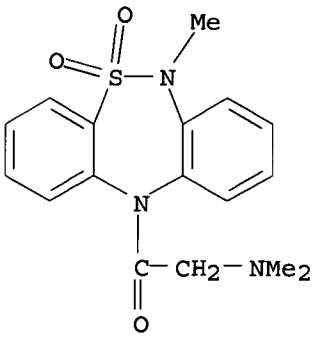
RN 128377-67-3 CAPLUS

CN Dibenzo[c,f][1,2,5]thiadiazepine, 11-[3-(dimethylamino)-1-oxopropyl]-6,11-dihydro-6-methyl-, 5,5-dioxide, monohydrochloride (9CI) (CA INDEX NAME)

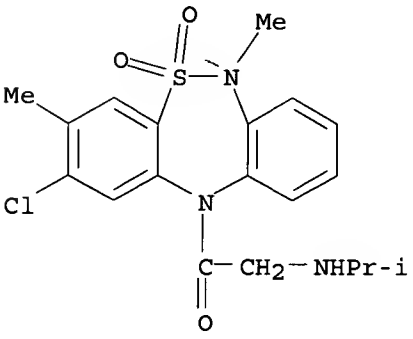


● HCl

RN 128377-70-8 CAPLUS
CN Dibenzo[c,f][1,2,5]thiadiazepine, 11-[(dimethylamino)acetyl]-6,11-dihydro-6-methyl-, 5,5-dioxide (9CI) (CA INDEX NAME)



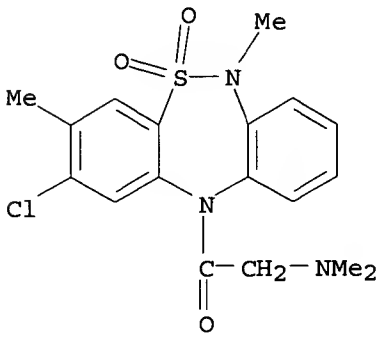
RN 128377-75-3 CAPLUS
CN Dibenzo[c,f][1,2,5]thiadiazepine, 2-chloro-6,11-dihydro-3,6-dimethyl-11-[[(1-methylethyl)amino]acetyl]-, 5,5-dioxide, monohydrochloride (9CI) (CA INDEX NAME)



HCl

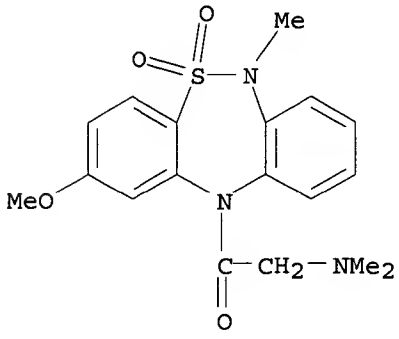
RN 128377-76-4 CAPLUS

CN Dibenzo[c,f][1,2,5]thiadiazepine, 2-chloro-11-[(dimethylamino)acetyl]-6,11-dihydro-3,6-dimethyl-, 5,5-dioxide, monohydrochloride (9CI) (CA INDEX NAME)



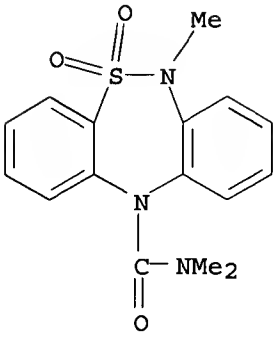
● HCl

RN 128377-77-5 CAPLUS
CN Dibenzo[c,f][1,2,5]thiadiazepine, 11-[(dimethylamino)acetyl]-6,11-dihydro-2-methoxy-6-methyl-, 5,5-dioxide, monohydrochloride (9CI) (CA INDEX NAME)

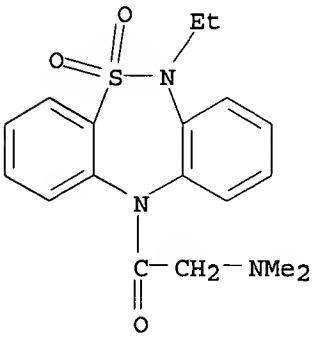


● HCl

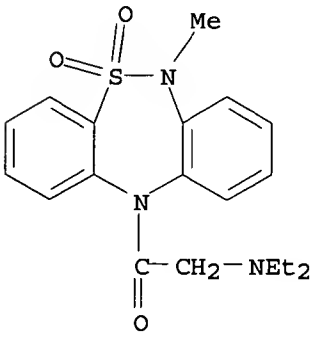
RN 128377-78-6 CAPLUS
CN Dibenzo[c,f][1,2,5]thiadiazepine-11(6H)-carboxamide, N,N,6-trimethyl-, 5,5-dioxide (9CI) (CA INDEX NAME)



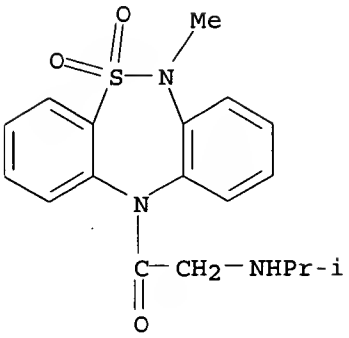
RN 128377-79-7 CAPLUS
CN Dibenzo[c,f][1,2,5]thiadiazepine, 11-[(dimethylamino)acetyl]-6-ethyl-6,11-dihydro-, 5,5-dioxide (9CI) (CA INDEX NAME)



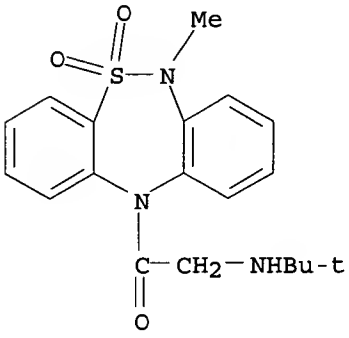
RN 128377-80-0 CAPLUS
CN Dibenzo[c,f][1,2,5]thiadiazepine, 11-[(diethylamino)acetyl]-6,11-dihydro-6-methyl-, 5,5-dioxide (9CI) (CA INDEX NAME)



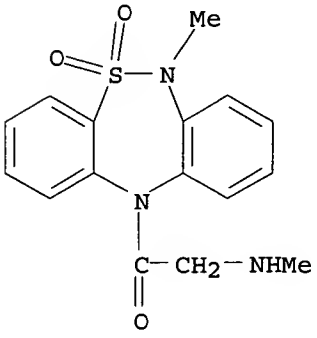
RN 128377-81-1 CAPLUS
CN Dibenzo[c,f][1,2,5]thiadiazepine, 6,11-dihydro-6-methyl-11-[[(1-methylethyl)amino]acetyl]-, 5,5-dioxide (9CI) (CA INDEX NAME)



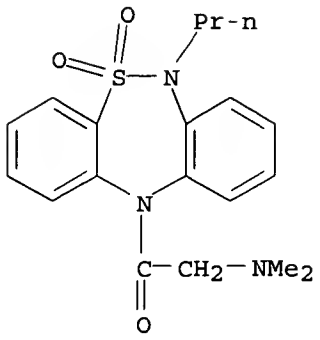
RN 128377-82-2 CAPLUS
CN Dibenzo[c,f][1,2,5]thiadiazepine, 11-[[(1,1-dimethylethyl)amino]acetyl]-6,11-dihydro-6-methyl-, 5,5-dioxide (9CI) (CA INDEX NAME)



RN 128377-86-6 CAPLUS
CN Dibenzo[c,f][1,2,5]thiadiazepine, 6,11-dihydro-6-methyl-11-[(methylamino)acetyl]-, 5,5-dioxide (9CI) (CA INDEX NAME)

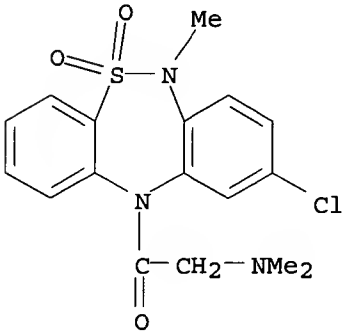


RN 128377-87-7 CAPLUS
CN Dibenzo[c,f][1,2,5]thiadiazepine, 11-[(dimethylamino)acetyl]-6,11-dihydro-6-propyl-, 5,5-dioxide, monohydrochloride (9CI) (CA INDEX NAME)

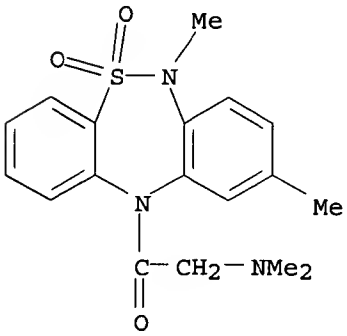


● HCl

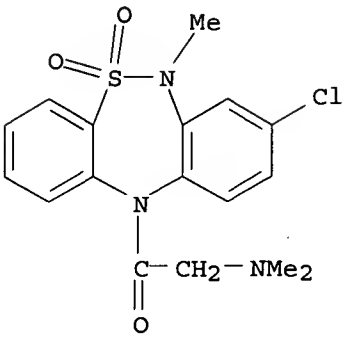
RN 128377-88-8 CAPLUS
CN Dibenzo[c,f][1,2,5]thiadiazepine, 9-chloro-11-[(dimethylamino)acetyl]-6,11-dihydro-6-methyl-, 5,5-dioxide (9CI) (CA INDEX NAME)



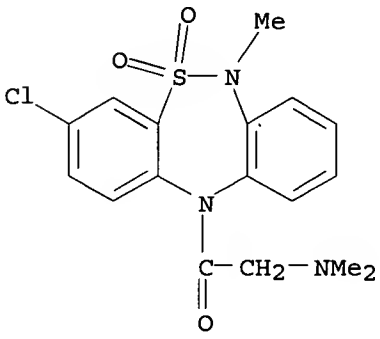
RN 128377-89-9 CAPLUS
CN Dibenzo[c,f][1,2,5]thiadiazepine, 11-[(dimethylamino)acetyl]-6,11-dihydro-6,9-dimethyl-, 5,5-dioxide (9CI) (CA INDEX NAME)



RN 128377-90-2 CAPLUS
CN Dibenzo[c,f][1,2,5]thiadiazepine, 8-chloro-11-[(dimethylamino)acetyl]-6,11-dihydro-6-methyl-, 5,5-dioxide (9CI) (CA INDEX NAME)

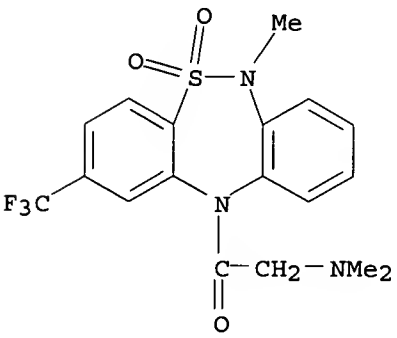


RN 128377-91-3 CAPLUS
CN Dibenzo[c,f][1,2,5]thiadiazepine, 3-chloro-11-[(dimethylamino)acetyl]-6,11-dihydro-6-methyl-, 5,5-dioxide, monohydrochloride (9CI) (CA INDEX NAME)

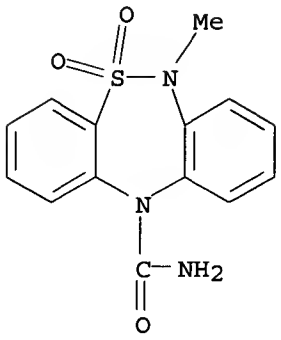


● HCl

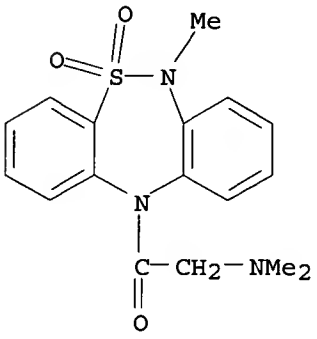
RN 128377-92-4 CAPLUS
CN Dibenzo[c,f][1,2,5]thiadiazepine, 11-[(dimethylamino)acetyl]-6,11-dihydro-6-methyl-2-(trifluoromethyl)-, 5,5-dioxide (9CI) (CA INDEX NAME)



RN 128378-05-2 CAPLUS
CN Dibenzo[c,f][1,2,5]thiadiazepine-11(6H)-carboxamide, 6-methyl-, 5,5-dioxide (9CI) (CA INDEX NAME)

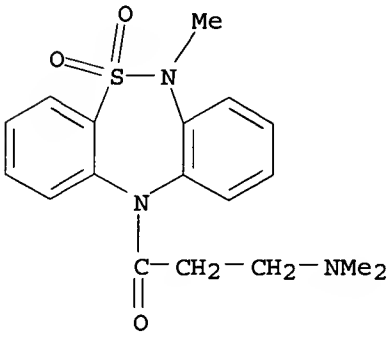


RN 128378-06-3 CAPLUS
CN Dibenzo[c,f][1,2,5]thiadiazepine, 11-[(dimethylamino)acetyl]-6,11-dihydro-6-methyl-, 5,5-dioxide, monohydrochloride (9CI) (CA INDEX NAME)

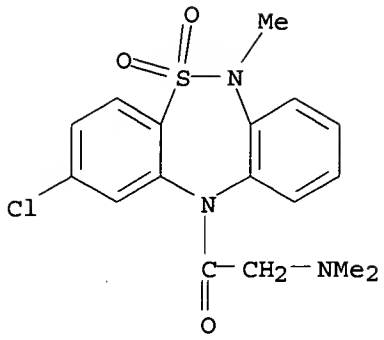


● HCl

RN 128378-07-4 CAPLUS
CN Dibenzo[c,f][1,2,5]thiadiazepine, 11-[3-(dimethylamino)-1-oxopropyl]-6,11-dihydro-6-methyl-, 5,5-dioxide (9CI) (CA INDEX NAME)



RN 128400-08-8 CAPLUS
CN Dibenzo[c,f][1,2,5]thiadiazepine, 2-chloro-11-[(dimethylamino)acetyl]-6,11-dihydro-6-methyl-, 5,5-dioxide (9CI) (CA INDEX NAME)

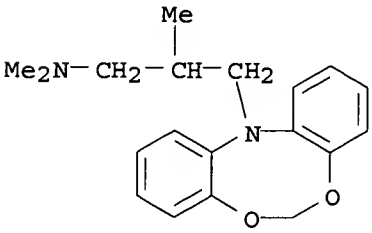


L7 ANSWER 13 OF 33 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1990:131882 CAPLUS
DOCUMENT NUMBER: 112:131882
TITLE: Structure-activity relationships of new muscarinergic dibenzodioxazocines
AUTHOR(S): Kalman, A.; Parkanyi, L.; Valko, Klara; Matrai, Gy.; Batke, J.; Gaal, J.
CORPORATE SOURCE: CHINOIN Pharm. Chem. Works Co. Ltd., Budapest, 1325, Hung.
SOURCE: Acta Biochim. Biophys. Hung. (1989), 24(1-2), 143-58
CODEN: ABBHE5; ISSN: 0237-6261
DOCUMENT TYPE: Journal
LANGUAGE: English

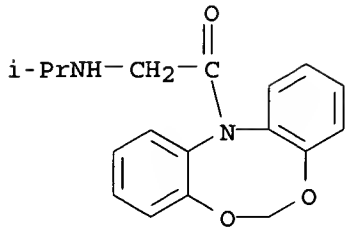
AB NMR and x-ray conformation studies of new muscarinergic dibenzodioxazocines were carried out. EGYT-2347 (2-chloro-12-/2-piperidino-ethyl/-dibenzo[d,g][1,3,6]dioxazocine hydrochloride) may exist in .gtoreq.2 distinct conformations, unlike other tricyclic or nontricyclic compds. having antimuscaringergic activity. One of these conformations possessing an asym., twisted central hetero-ring confined between 2 Ph rings is probably the energetically more stable form, whereas the other having a butterfly-like structure, with mirror symmetry-related Ph rings as in phenothiazines seems to be more suitable for receptor binding. The importance of the hydrophobic pocket at the receptor site was revealed by the good correlation of the calcd. and measured hydrophobic parameters to the muscarinic activity of these newly synthesized and other known muscarinergic compds.

IT **107615-76-9 125833-00-3**
RL: BIOL (Biological study)
(muscarinic receptor binding by, structure in relation to)

RN 107615-76-9 CAPLUS
CN 12H-Dibenzo[d,g][1,3,6]dioxazocine-12-propanamine, N,N,.beta.-trimethyl- (9CI) (CA INDEX NAME)



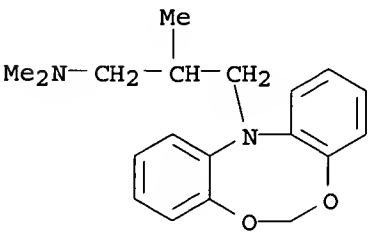
RN 125833-00-3 CAPLUS
CN 12H-Dibenzo[d,g][1,3,6]dioxazocine, 12-[[(1-methylethyl)amino]acetyl]- (9CI) (CA INDEX NAME)



L7 ANSWER 14 OF 33 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1990:69840 CAPLUS
DOCUMENT NUMBER: 112:69840
TITLE: EGYT-2509, a novel neuroleptic agent without extrapyramidal and endocrine side effects
AUTHOR(S): Gacsalyi, Istvan; Petocz, Lujza; Fekete, Marton I. K.; Bukkfalvi, Beatrix; Gorgenyi, Frigyes; Arato, Mihaly
CORPORATE SOURCE: EGIS Pharm., Budapest, Hung.
SOURCE: Pol. J. Pharmacol. Pharm. (1988), 40(6), 613-19
CODEN: PJPPAA; ISSN: 0301-0244
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The dibenzodioxazocine deriv. EGYT-2509 was effective in a series of neuropsychopharmacol. tests in rats and mice for characterizing neuroleptics and antiparkinsonian drugs. It behaved like dopaminergic compds. such as chlorpromazine and haloperidol, but in certain tests it showed different activity. Similarly to chlorpromazine and haloperidol it inhibited the lethal effect of amphetamine in grouped mice. Apomorphine-induced stereotypy was potentiated by lower, and antagonized by higher, doses of EGYT-2509. The compd. did not show cataleptogenic activity and even antagonized the catalepsy evoked by bulbocapnine. The in vitro potency of EGYT-2509 for blocking dopamine-mediated inhibition of prolactin release was weaker by 3 orders of magnitude than that of haloperidol. In preliminary human studies, it did not affect the plasma prolactin level. EGYT-2509 is apparently a new potential antipsychotic agent with minimal risk of extrapyramidal and endocrine side effects.

IT **107615-76-9**, EGYT 2509
RL: BAC (Biological activity or effector, except adverse); BIOL (Biological study)
(as antipsychotic, side effects of, in humans and lab. animals)
RN 107615-76-9 CAPLUS
CN 12H-Dibenzo[d,g][1,3,6]dioxazocine-12-propanamine, N,N,.beta.-trimethyl- (9CI) (CA INDEX NAME)



L7 ANSWER 15 OF 33 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1990:55932 CAPLUS
DOCUMENT NUMBER: 112:55932
TITLE: Preparation of 12-(alkylaminoalkyl)-2-chloro-12H-

dibenzo[d,g][1,3,6]dioxazocins as nervous system agents

INVENTOR(S): Rozsa, Laszlo; Petoecz, Lujza; Szirt Kiszelly, Eniko; Fekete, Marton; Szecsey Hegedus, Maria; Gigler, Gabor

PATENT ASSIGNEE(S): EGIS Gyogyszergyar, Hung.

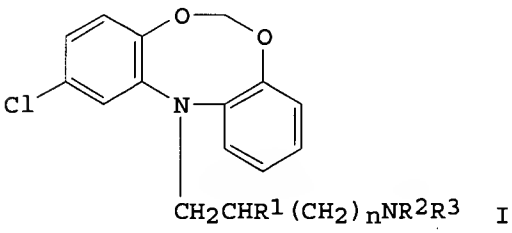
SOURCE: Ger. Offen., 14 pp.
CODEN: GWXXBX

DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3844394	A1	19890713	DE 1988-3844394	19881230
HU 50144	A2	19891228	HU 1987-6170	19871231
HU 201318	B	19901028		
JP 01213273	A2	19890828	JP 1988-329542	19881228
CH 677669	A	19910614	CH 1988-4833	19881228
SE 8804696	A	19890701	SE 1988-4696	19881229
FR 2625503	A1	19890707	FR 1988-17385	19881229
DK 8807336	A	19890701	DK 1988-7336	19881230
FI 8806065	A	19890701	FI 1988-6065	19881230
AU 8827637	A1	19890706	AU 1988-27637	19881230
AU 610678	B2	19910523		
NL 8803218	A	19890717	NL 1988-3218	19881230
GB 2213480	A1	19890816	GB 1988-30416	19881230
GB 2213480	B2	19910626		
CN 1034926	A	19890823	CN 1988-108995	19881230
ES 2010855	A6	19891201	ES 1989-367	19881230
US 4965259	A	19901023	US 1988-292450	19881230
CS 272247	B2	19910115	CS 1988-9102	19881230
SU 1641190	A3	19910407	SU 1988-4613167	19881230
BE 1002696	A3	19910507	BE 1988-1449	19881230
PL 154357	B1	19910830	PL 1988-276891	19881230

PRIORITY APPLN. INFO.: HU 1987-6170 19871231
OTHER SOURCE(S): MARPAT 112:55932

GI



I

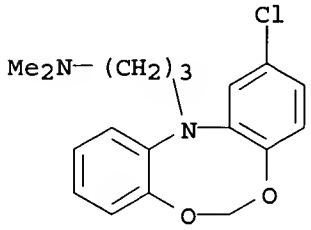
AB The title compds. (I; R1 = H, C1-4 alkyl; R2 = C1-4 alkyl; R3 = H; n = 0, 1) were prepd. as antiarrhythmics and nervous system agents, e.g., depressants, anticonvulsants, and cholinergic antagonists. Thus, I (R1 = R2 = R3 = Me, n = 1) and ClCO2Et were refluxed in C6H6 to give 89% I (R1 = R2 = Me, R3 = CO2Et, n = 1). The latter was refluxed in EtOH contg. KOH to give, after acidification, 86% I.HCl (R1 = R2 = Me, R3 = H, n = 1) (II). In mice II potentiated hexobarbital with an ED50 of 2.4 mg/kg orally and a therapeutic index (LD50/ED50) of 208. I also showed cholinergic antagonist, anticonvulsant, and antiarrhythmic activity.

IT **70133-81-2 103624-59-5**

RL: RCT (Reactant)
(chloroformylation of, in prepn. of nervous system agent)

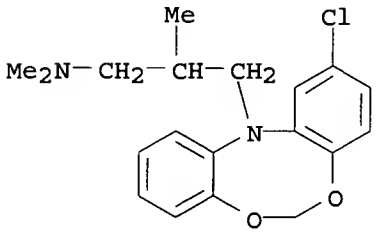
RN 70133-81-2 CAPLUS

CN 12H-Dibenzo[d,g][1,3,6]dioxazocine-12-propanamine, 2-chloro-N,N-dimethyl- (9CI) (CA INDEX NAME)



RN 103624-59-5 CAPLUS

CN 12H-Dibenzo[d,g][1,3,6]dioxazocine-12-propanamine, 2-chloro-N,N,.beta.-trimethyl- (9CI) (CA INDEX NAME)

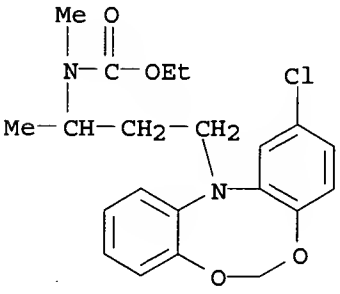


IT **124796-74-3P 124796-75-4P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and deethoxycarbonylation of, in prepn. of nervous system agent)

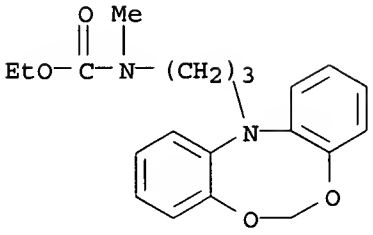
RN 124796-74-3 CAPLUS

CN Carbamic acid, [3-(2-chloro-12H-dibenzo[d,g][1,3,6]dioxazocin-12-yl)-1-methylpropyl]methyl-, ethyl ester (9CI) (CA INDEX NAME)

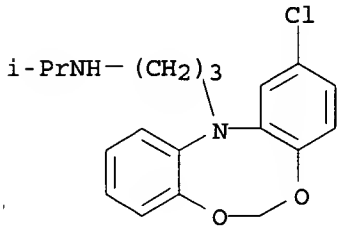


RN 124796-75-4 CAPLUS

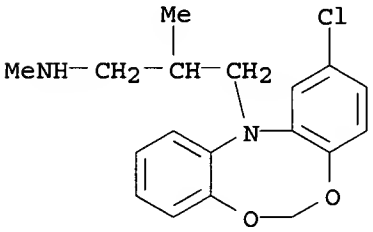
CN Carbamic acid, [3-(12H-dibenzo[d,g][1,3,6]dioxazcin-12-yl)propyl]methyl-, ethyl ester (9CI) (CA INDEX NAME)



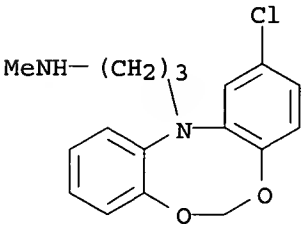
IT **124796-68-5P 124796-69-6P 124796-70-9P**
124796-71-0P 124796-72-1P 124796-73-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as nervous system agent)
RN 124796-68-5 CAPLUS
CN 12H-Dibenzo[d,g][1,3,6]dioxazocine-12-propanamine, 2-chloro-N-(1-methylethyl)- (9CI) (CA INDEX NAME)



RN 124796-69-6 CAPLUS
CN 12H-Dibenzo[d,g][1,3,6]dioxazocine-12-propanamine, 2-chloro-N,.beta.-dimethyl- (9CI) (CA INDEX NAME)



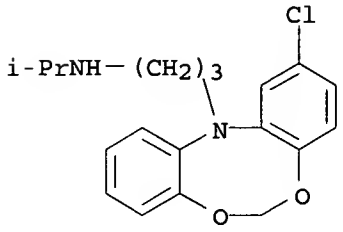
RN 124796-70-9 CAPLUS
CN 12H-Dibenzo[d,g][1,3,6]dioxazocine-12-propanamine, 2-chloro-N-methyl- (9CI) (CA INDEX NAME)



RN 124796-71-0 CAPLUS
CN 12H-Dibenzo[d,g][1,3,6]dioxazocine-12-propanamine, 2-chloro-N-(1-methylethyl)-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

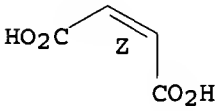
CRN 124796-68-5
CMF C19 H23 Cl N2 O2



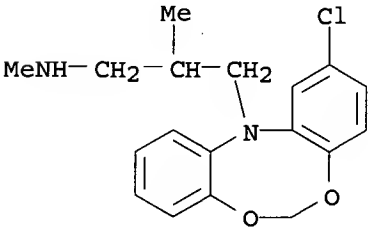
CM 2

CRN 110-16-7
CMF C4 H4 O4
CDES 2:Z

Double bond geometry as shown.



RN 124796-72-1 CAPLUS
CN 12H-Dibenzo[d,g][1,3,6]dioxazocine-12-propanamine, 2-chloro-N,.beta.-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)

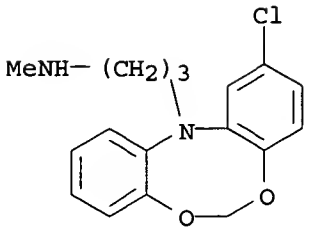


● HCl

RN 124796-73-2 CAPLUS
CN 12H-Dibenzo[d,g][1,3,6]dioxazocine-12-propanamine, 2-chloro-N-methyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

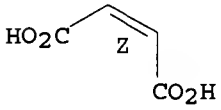
CRN 124796-70-9
CMF C17 H19 Cl N2 O2



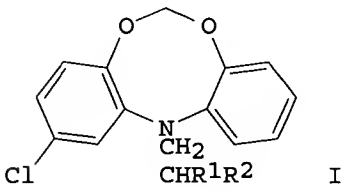
CM 2

CRN 110-16-7
CMF C4 H4 O4
CDES 2:Z

Double bond geometry as shown.



L7 ANSWER 16 OF 33 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1990:30242 CAPLUS
DOCUMENT NUMBER: 112:30242
TITLE: Synthesis of dibenzodioxazocines and their effects on cholinesterases and muscarinic cholinergic receptors
AUTHOR(S): Gaal, J.; Batke, J.; Borsodi, Anna; Rozsa, L.; Somogyi, G.
CORPORATE SOURCE: CHINOIN Pharm. Chem. Works Co. Ltd., Budapest, 1325, Hung.
SOURCE: Acta Biochim. Biophys. Hung. (1989), 24(1-2), 129-42
CODEN: ABBHE5; ISSN: 0237-6261
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



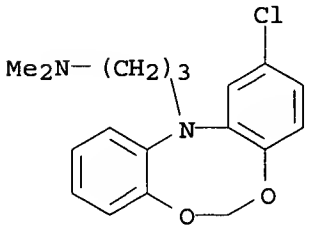
AB A new family of tricyclic compds., the dibenzodioxazocines (I, R1 = H, Me; R2 = CH2NMe2, piperidino, methylpiperazino) were synthesized. I are inhibitors of butyryl- and acetylcholineesterase and they exhibited relatively good anticholinergic properties in receptor binding expts. The most selective inhibitor of butyrylcholinesterase is EGYT 2347, I (R1 = H; R2 = piperidino), (Ki = 1.5 .times. 10-7M) which strongly binds to rat brain muscarinic cholinergic receptor (KD = 4.1 .times. 10-8M). Structure-activity relationship is discussed.

09/ 995,137

IT **70133-82-3P**, EGYT 2474 **107615-76-9P**, EGYT 2509
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and cholinesterase inhibition by, muscarinic cholinergic receptors of brain in relation to)
RN 70133-82-3 CAPLUS
CN 12H-Dibenzo[d,g][1,3,6]dioxazocine-12-propanamine, 2-chloro-N,N-dimethyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

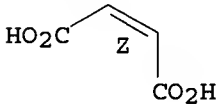
CRN 70133-81-2
CMF C18 H21 Cl N2 O2



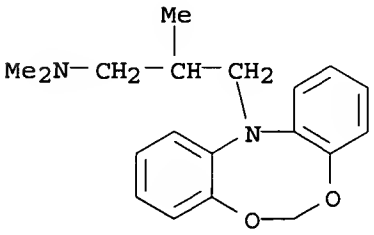
CM 2

CRN 110-16-7
CMF C4 H4 O4
CDES 2:Z

Double bond geometry as shown.



RN 107615-76-9 CAPLUS
CN 12H-Dibenzo[d,g][1,3,6]dioxazocine-12-propanamine, N,N,.beta.-trimethyl- (9CI) (CA INDEX NAME)

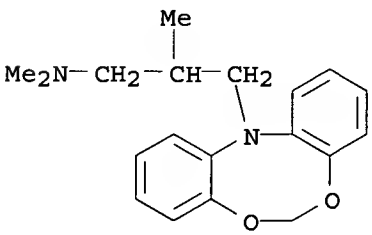


L7 ANSWER 17 OF 33 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1989:567309 CAPLUS
DOCUMENT NUMBER: 111:167309
TITLE: Interactions of apomorphine and a novel neuroleptic dibenzodioxazocine derivative, as evidenced by changes of somato-autonomic reflexes and spontaneous sympathetic activity in cats
AUTHOR(S): Doda, Margit

CORPORATE SOURCE: Inst. Exp. Med., Hung. Acad. Sci., Budapest, H-1450, Hung.
SOURCE: J. Pharm. Pharmacol. (1989), 41(8), 549-54
CODEN: JPPMAB; ISSN: 0022-3573
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The apomorphine-antagonistic effects of EGYT-2509, a novel neuroleptic compd., were studied by 2 different methods suitable for investigating the dopaminergic modulation of sympathetic output. In cats lightly anesthetized with urethane (600 mg/kg, i.p.) blood pressure (BP) reflexes evoked by elec. stimulation of the sciatic nerve were inhibited by apomorphine (0.2 mg/kg, i.v.) at low frequencies of stimuli (2-8 Hz), while the BP reflexes were facilitated by apomorphine at higher frequencies of stimulation; the evoked contractions of the nictitating membrane were depressed in the entire range of frequencies applied. EGYT-2509 (1.5 mg/kg, i.v.) antagonized both the inhibition and facilitation of pressor reflexes induced by apomorphine. EGYT-2509, given alone, in doses >1.5 mg/kg either did not influence or inhibited the responses of nictitating membrane and of BP; the inhibition could be antagonized by haloperidol. The apomorphine-induced sustained hypotension was inhibited by EGYT-2509 (18.5 mg/kg): after EGYT-25098 higher doses of apomorphine (0.7 vs. 0.2 mg/kg) were required for the effect. Sustained hypotension could be elicited by EGYT-2509, too; after apomorphine, smaller doses of EGYT-2509 (8.5 vs. 18.5 mg/kg) were enough to decrease BP. In cats anesthetized with chloralose and urethane (50 and 400 mg/kg, i.p., resp.), apomorphine (0.2 mg/kg) inhibited the spontaneous activity of the postganglionic renal sympathetic nerve. EGYT-2509 (<1 mg/kg) and chlorpromazine (0.2-0.5 mg/kg) failed to antagonize the apomorphine-induced inhibition. Haloperidol (0.4 mg/kg), however, restored the renal nerve activity. All 3 compds., EGYT-2509, chlorpromazine, and haloperidol, themselves, inhibited the sympathetic discharges. Thus, EGYT-25098 in addn. to its potent anti-apomorphine activity, possesses some apomorphine-like features, too.

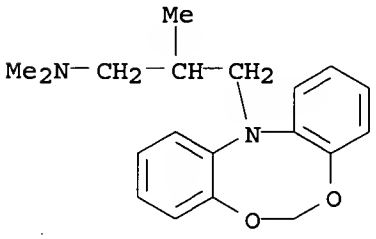
IT **107615-76-9**, EGYT 2509
RL: BIOL (Biological study)
(sympathetic neurotransmission response to, dopamine antagonism in)
RN 107615-76-9 CAPLUS
CN 12H-Dibenzo[d,g][1,3,6]dioxazocine-12-propanamine, N,N,.beta.-trimethyl- (9CI) (CA INDEX NAME)



L7 ANSWER 18 OF 33 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1989:185649 CAPLUS
DOCUMENT NUMBER: 110:185649
TITLE: The combined effects of dopamine (DA) and the DA antagonists EGYT-2509, chlorpromazine, and haloperidol on kidney function
AUTHOR(S): Kover, Gyorgy; Fekete, M.; Tost, Hilda; Szemeredi, Katalin
CORPORATE SOURCE: Med. Sch., Semmelweis Univ., Budapest, 1444, Hung.
SOURCE: Acta Physiol. Hung. (1988), 72(3-4), 321-33
CODEN: APHHDU; ISSN: 0231-424X

09/ 995,137

DOCUMENT TYPE: Journal
LANGUAGE: English
AB Administration of dopamine into the left renal artery of dogs decreased renal vascular resistance by 20-30% and increased renal blood flow by 15-25%. This was followed by a decrease in systemic arterial blood pressure of 10%. These effects of DA were blocked by EGYT-2509 and, to a lesser extent, by chlorpromazine, but not by haloperidol.
IT **107615-76-9**, EGYT 2509
RL: BIOL (Biological study)
(kidney circulation and function response to dopamine inhibition by)
RN 107615-76-9 CAPLUS
CN 12H-Dibenzo[d,g][1,3,6]dioxazocine-12-propanamine, N,N,.beta.-trimethyl- (9CI) (CA INDEX NAME)



L7 ANSWER 19 OF 33 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1988:590464 CAPLUS
DOCUMENT NUMBER: 109:190464
TITLE: Preparation of 12-carbamoyl- and 12-(aminoalkanoyl)-12H-dibenzo[d,g][1,3,6]dioxazocines and pharmaceuticals containing them
INVENTOR(S): Rozsa, Laszlo; Petocz, Lujza; Fekete, Marton; Szirt Kiszelly, Eniko; Hegedus, Maria; Gacsalyi, Istvan
PATENT ASSIGNEE(S): EGIS Gyogyszergyar, Hung.
SOURCE: Ger. Offen., 25 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3744549	A1	19880714	DE 1987-3744549	19871230
HU 47260	A2	19890228	HU 1986-5513	19861230
HU 198194	B	19890828		
CN 87108190	A	19880713	CN 1987-108190	19871228
FR 2609030	A1	19880701	FR 1987-18272	19871229
FR 2609030	B1	19910531		
DK 8706910	A	19880701	DK 1987-6910	19871229
SE 8705187	A	19880701	SE 1987-5187	19871229
SE 465429	B	19910909		
SE 465429	C	19920109		
NO 8705465	A	19880701	NO 1987-5465	19871229
NO 169230	B	19920217		
NL 8703150	A	19880718	NL 1987-3150	19871229
ZA 8709733	A	19880831	ZA 1987-9733	19871229
DD 267038	A5	19890419	DD 1987-311670	19871229
ES 2006539	A6	19890501	ES 1988-216	19871229
BE 1001240	A3	19890829	BE 1987-1495	19871229
CS 270579	B2	19900712	CS 1987-10091	19871229
CH 675877	A	19901115	CH 1987-5095	19871229

IL 84976	A1	19910916	IL 1987-84976	19871229
AU 8783140	A1	19880630	AU 1987-83140	19871230
AU 596258	B2	19900426		
FI 8705767	A	19880701	FI 1987-5767	19871230
GB 2199827	A1	19880720	GB 1987-30298	19871230
GB 2199827	B2	19901003		
AT 8703450	A	19890415	AT 1987-3450	19871230
AT 389304	B	19891127		
SU 1575938	A3	19900630	SU 1987-4203954	19871230
PL 151402	B1	19900831	PL 1987-269812	19871230
JP 63174978	A2	19880719	JP 1988-45	19880104

PRIORITY APPLN. INFO.: HU 1986-5513 19861230
OTHER SOURCE(S): MARPAT 109:190464
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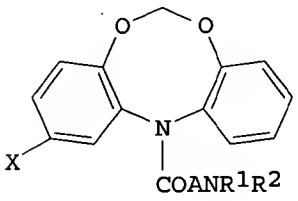
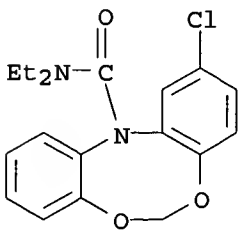


I

AB The title compds. (I; A = bond, straight or branched C1-10 alkylene; R1, R2 = H, C1-4 alkyl, C3-6 cycloalkyl; R1R2N = C1-4 alkyl-(un)substituted 5- or 6-membered heterocyclyl, optionally contg. .gtoreq.1 addnl. N and/or O and/or S atoms; X = H, halo) and their optical isomers and acid salts were prepd. as pharmaceuticals, useful as, e.g., sedatives, antidepressants, and local anesthetics. 12H-Dibenzo[d,g][1,3,6]dioxazocine was N-acylated with ClCH2COCl (87.3%) and the product was refluxed with N-methylcyclohexylamine in C6H6 to give 84.2% I (A = CH2, R1 = cyclohexyl, R2 = Me, X = H), isolated as its maleate salt (II). II inhibited tetrabenazine-induced ptosis in mice with an ED50 of 13.0 mg/kg orally, and a therapeutic index of 53.9.

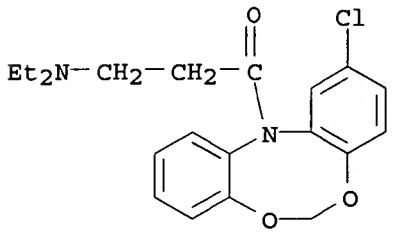
IT **117089-25-5P 117089-26-6P 117089-28-8P**
117089-29-9P 117089-30-2P 117089-32-4P
117089-33-5P 117089-34-6P 117089-35-7P
117089-41-5P 117089-42-6P 117089-45-9P
117089-46-0P 117089-47-1P 117089-50-6P
117089-51-7P 117089-58-4P 117089-59-5P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as drug)

RN 117089-25-5 CAPLUS
CN 12H-Dibenzo[d,g][1,3,6]dioxazocine-12-carboxamide, 2-chloro-N,N-diethyl- (9CI) (CA INDEX NAME)

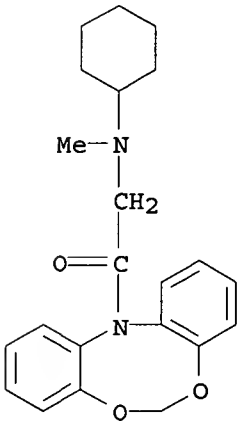


RN 117089-26-6 CAPLUS

CN 12H-Dibenzo[d,g][1,3,6]dioxazocine, 2-chloro-12-[3-(diethylamino)-1-oxopropyl]- (9CI) (CA INDEX NAME)



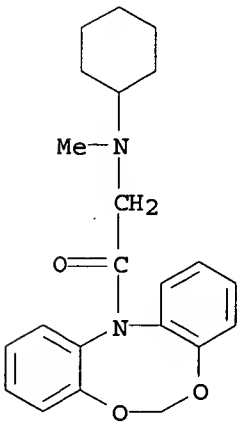
RN 117089-28-8 CAPLUS
CN 12H-Dibenzo[d,g][1,3,6]dioxazocine, 12-[(cyclohexylmethylamino)acetyl]- (9CI) (CA INDEX NAME)



RN 117089-29-9 CAPLUS
CN 12H-Dibenzo[d,g][1,3,6]dioxazocine, 12-[(cyclohexylmethylamino)acetyl]-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

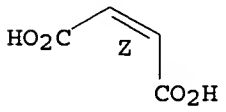
CRN 117089-28-8
CMF C22 H26 N2 O3



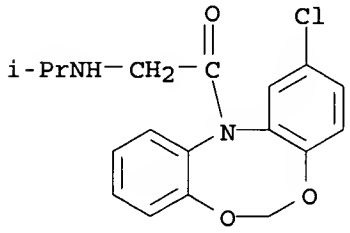
CM 2

CRN 110-16-7
CMF C4 H4 O4
CDES 2:Z

Double bond geometry as shown.

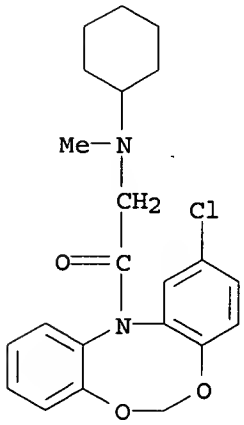


RN 117089-30-2 CAPLUS
CN 12H-Dibenzo[d,g][1,3,6]dioxazocine, 2-chloro-12-[[(1-methylethyl)amino]acetyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

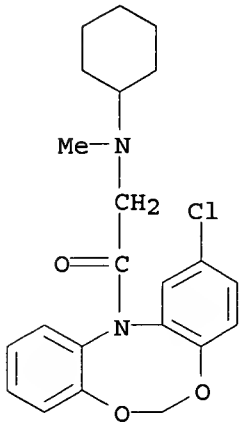
RN 117089-32-4 CAPLUS
CN 12H-Dibenzo[d,g][1,3,6]dioxazocine, 2-chloro-12-[(cyclohexylmethylamino)acetyl]- (9CI) (CA INDEX NAME)



RN 117089-33-5 CAPLUS
CN 12H-Dibenzo[d,g][1,3,6]dioxazocine, 2-chloro-12-[(cyclohexylmethylamino)acetyl]-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

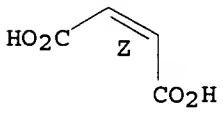
CRN 117089-32-4
CMF C22 H25 Cl N2 O3



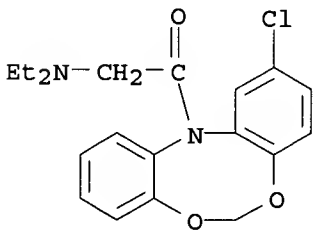
CM 2

CRN 110-16-7
CMF C4 H4 O4
CDES 2:Z

Double bond geometry as shown.

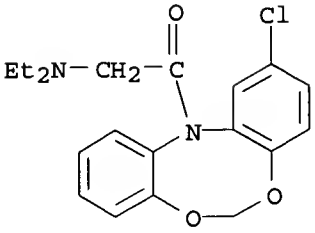


RN 117089-34-6 CAPLUS
CN 12H-Dibenzo[d,g][1,3,6]dioxazocine, 2-chloro-12-[(diethylamino)acetyl]-, monohydrochloride (9CI) (CA INDEX NAME)

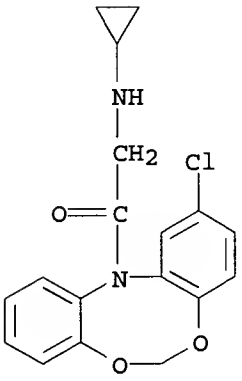


● HCl

RN 117089-35-7 CAPLUS
CN 12H-Dibenzo[d,g][1,3,6]dioxazocine, 2-chloro-12-[(diethylamino)acetyl]- (9CI) (CA INDEX NAME)



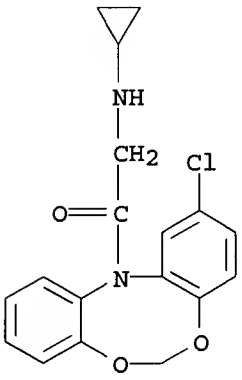
RN 117089-41-5 CAPLUS
CN 12H-Dibenzo[d,g][1,3,6]dioxazocine, 2-chloro-12-[(cyclopropylamino)acetyl]- (9CI) (CA INDEX NAME)



RN 117089-42-6 CAPLUS
CN 12H-Dibenzo[d,g][1,3,6]dioxazocine, 2-chloro-12-[(cyclopropylamino)acetyl]-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

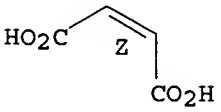
CRN 117089-41-5
CMF C18 H17 Cl N2 O3



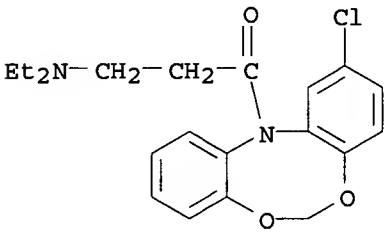
CM 2

CRN 110-16-7
CMF C4 H4 O4
CDES 2:Z

Double bond geometry as shown.

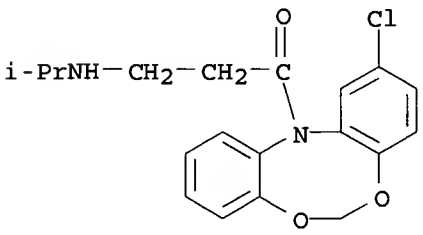


RN 117089-45-9 CAPLUS
CN 12H-Dibenzo[d,g][1,3,6]dioxazocine, 2-chloro-12-[3-(diethylamino)-1-oxopropyl]-, monohydrochloride (9CI) (CA INDEX NAME)



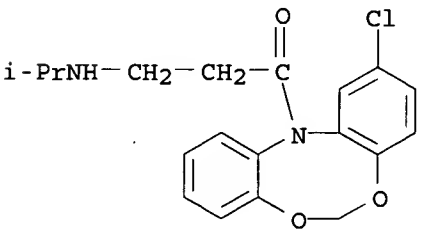
● HCl

RN 117089-46-0 CAPLUS
CN 12H-Dibenzo[d,g][1,3,6]dioxazocine, 2-chloro-12-[3-[(1-methylethyl)amino]-1-oxopropyl]-, monohydrochloride (9CI) (CA INDEX NAME)

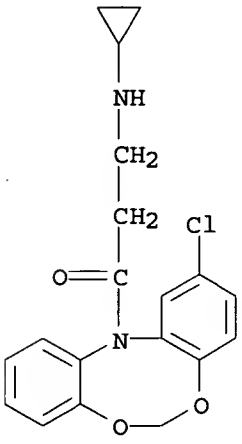


● HCl

RN 117089-47-1 CAPLUS
CN 12H-Dibenzo[d,g][1,3,6]dioxazocine, 2-chloro-12-[3-[(1-methylethyl)amino]-1-oxopropyl]- (9CI) (CA INDEX NAME)

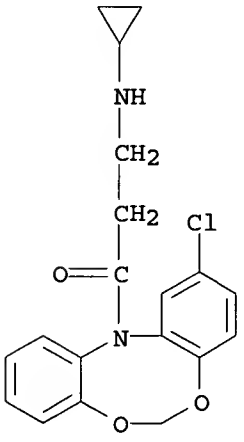


RN 117089-50-6 CAPLUS
CN 12H-Dibenzo[d,g][1,3,6]dioxazocine, 2-chloro-12-[3-(cyclopropylamino)-1-oxopropyl]-, monohydrochloride (9CI) (CA INDEX NAME)

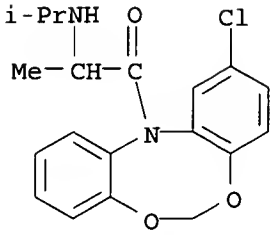


● HCl

RN 117089-51-7 CAPLUS
CN 12H-Dibenzo[d,g][1,3,6]dioxazocine, 2-chloro-12-[3-(cyclopropylamino)-1-oxopropyl]- (9CI) (CA INDEX NAME)

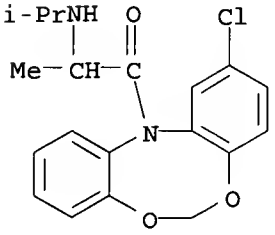


RN 117089-58-4 CAPLUS
CN 12H-Dibenzo[d,g][1,3,6]dioxazocine, 2-chloro-12-[2-[(1-methylethyl)amino]-1-oxopropyl]-, monohydrochloride (9CI) (CA INDEX NAME)

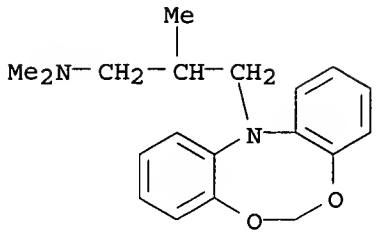


● HCl

RN 117089-59-5 CAPLUS
CN 12H-Dibenzo[d,g][1,3,6]dioxazocine, 2-chloro-12-[2-[(1-methylethyl)amino]-1-oxopropyl]- (9CI) (CA INDEX NAME)



L7 ANSWER 20 OF 33 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1988:87776 CAPLUS
DOCUMENT NUMBER: 108:87776
TITLE: Joint activity of dopamine, dopamine-antagonist EGYT-2509, chloropromazine and haloperidol on the renal function
AUTHOR(S): Kover, Gyorgy; Fekete, Marton; Tost, Hilda; Szemeredi, Katalin
CORPORATE SOURCE: Elettani Intez., Semmelweis Orvostud. Egy., Budapest, Hung.
SOURCE: Kiserl. Orvostud. (1987), 39(5), 361-75
CODEN: KIORAH; ISSN: 0023-1878
DOCUMENT TYPE: Journal
LANGUAGE: Hungarian
AB The effect of EGYT-2509 (I), chloropromazine, and haloperidol (II) on renal function was examd. in anesthetized dogs treated with dopamine. The best dopamine blocking effect was obsd. with I, whereas II was ineffective.
IT **107615-76-9**, EGYT-2509
RL: BIOL (Biological study)
(kidney function response to chloropromazine and dopamine and haloperidol and)
RN 107615-76-9 CAPLUS
CN 12H-Dibenzo[d,g][1,3,6]dioxazocine-12-propanamine, N,N,.beta.-trimethyl- (9CI) (CA INDEX NAME)



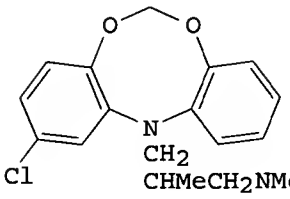
L7 ANSWER 21 OF 33 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1987:515612 CAPLUS
DOCUMENT NUMBER: 107:115612
TITLE: Preparation of (+)- and (-)-2-chloro-12-[3-(dimethylamino)-2-propyl]-12h-dibenzo[d,g][1.3.6]dioxazocine as antiparkinsonians and neuroleptics
INVENTOR(S): Rozsa, Laszlo; Petocz, Lujza; Szirt Kiszelly, Eniko; Tompe, Peter; Gigler, Gabor
PATENT ASSIGNEE(S): EGIS Gyogyszergyar, Hung.
SOURCE: Ger. Offen., 7 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3643991	A1	19870625	DE 1986-3643991	19861222
DE 3643991	C2	19900809		
HU 44247	A2	19880229	HU 1985-4881	19851220
HU 195491	B	19880530		
AT 8603269	A	19910915	AT 1986-3269	19861210
AT 394366	B	19920325		
BE 905907	A1	19870612	BE 1986-11589	19861212
CN 86108260	A	19870909	CN 1986-108260	19861217
SE 8605457	A	19870621	SE 1986-5457	19861218
SE 466399	B	19920210		
SE 466399	C	19920611		
CH 670638	A	19890630	CH 1986-5108	19861218
FI 8605244	A	19870621	FI 1986-5244	19861219
FI 83644	B	19910430		
FI 83644	C	19910812		
DK 8606168	A	19870621	DK 1986-6168	19861219
NO 8605179	A	19870622	NO 1986-5179	19861219
GB 2184445	A1	19870624	GB 1986-30431	19861219
GB 2184445	B2	19891018		
AU 8666765	A1	19870625	AU 1986-66765	19861219
AU 589725	B2	19891019		
FR 2592043	A1	19870626	FR 1986-17825	19861219
FR 2592043	B1	19911011		
NL 8603236	A	19870716	NL 1986-3236	19861219
DD 256695	A5	19880518	DD 1986-298019	19861219
ES 2003994	A6	19881201	ES 1986-3527	19861219
CS 262681	B2	19890314	CS 1986-9606	19861219
SU 1470187	A3	19890330	SU 1986-4028671	19861219
CA 1291133	A1	19911022	CA 1986-525829	19861219
JP 62158265	A2	19870714	JP 1986-302884	19861220
US 4906622	A	19900306	US 1988-195691	19880518

PRIORITY APPLN. INFO.: HU 1985-4881 19851220

US 1986-921672 19861021

GI



I

AB The enantiomers of I, prepd. by resoln. via tartrate salts, have superior neuroleptic and antiparkinsonian properties when compared with the racemate. A suspension of (.+-.)-I in H2O was shaken with (+)-tartaric acid for 1 h at room temp. After several h (-)-I tartrate pptd. and this was shaken with aq. NH3 and CH2Cl2 to give 84% (-)-I. (+)-I.HCl, obtained from the filtrate, had an ED50 of 2.2 mg/kg orally in a screen for suppression of tremorin-induced tremors in white mice, vs. 25 mg/kg for the racemate.

IT **110138-60-8P 110138-61-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and conversion of, to free base)

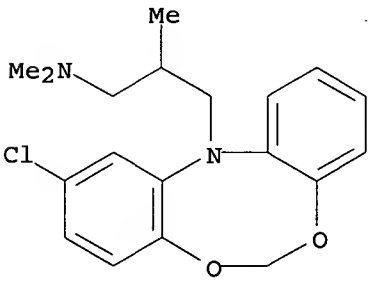
RN 110138-60-8 CAPLUS

CN 12H-Dibenzo[d,g][1,3,6]dioxazocine-12-propanamine, 2-chloro-N,N,.beta.-trimethyl-, (-)-, (2R,3R)-2,3-dihydroxybutanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 110138-58-4
CMF C19 H23 Cl N2 O2
CDES 3:(-)

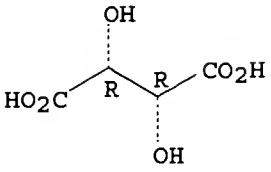
Rotation (-).



CM 2

CRN 87-69-4
CMF C4 H6 O6
CDES 1:R2:R*,R*

Absolute stereochemistry.

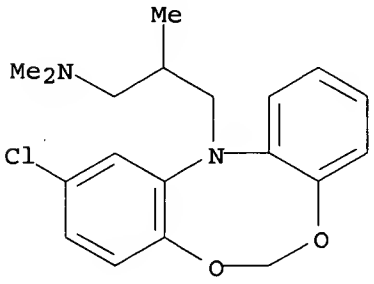


RN 110138-61-9 CAPLUS
CN 12H-Dibenzo[d,g][1,3,6]dioxazocine-12-propanamine, 2-chloro-N,N,.beta.-trimethyl-, (+)-, (2R,3R)-2,3-dihydroxybutanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 110138-59-5
CMF C19 H23 Cl N2 O2
CDES 3:(+)

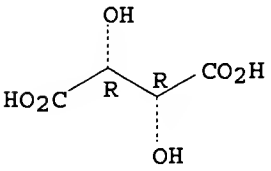
Rotation (+).



CM 2

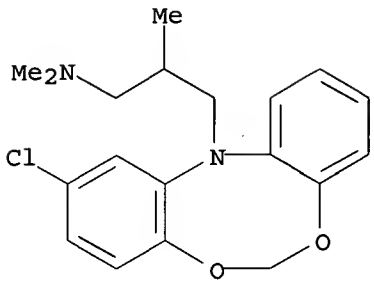
CRN 87-69-4
CMF C4 H6 O6
CDES 1:R2:R*,R*

Absolute stereochemistry.



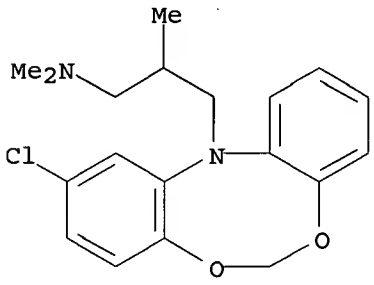
IT **110138-58-4P 110138-59-5P 110138-62-0P**
110138-63-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as neuroleptic and antiparkinsonian)
RN 110138-58-4 CAPLUS
CN 12H-Dibenzo[d,g][1,3,6]dioxazocine-12-propanamine, 2-chloro-N,N,.beta.-trimethyl-, (-)- (9CI) (CA INDEX NAME)

Rotation (-).



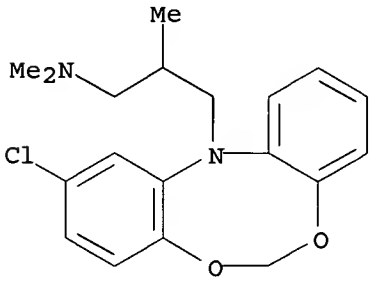
RN 110138-59-5 CAPLUS
CN 12H-Dibenzo[d,g][1,3,6]dioxazocine-12-propanamine, 2-chloro-N,N,.beta.-trimethyl-, (+)- (9CI) (CA INDEX NAME)

Rotation (+).



RN 110138-62-0 CAPLUS
CN 12H-Dibenzo[d,g][1,3,6]dioxazocine-12-propanamine, 2-chloro-N,N,.beta.-trimethyl-, monohydrochloride, (+)- (9CI) (CA INDEX NAME)

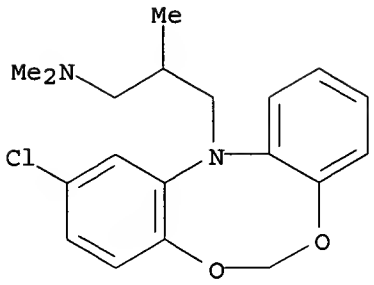
Rotation (+).



● HCl

RN 110138-63-1 CAPLUS
CN 12H-Dibenzo[d,g][1,3,6]dioxazocine-12-propanamine, 2-chloro-N,N,.beta.-trimethyl-, monohydrochloride, (-)- (9CI) (CA INDEX NAME)

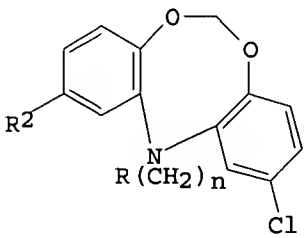
Rotation (-).



● HCl

IT **110138-57-3**
RL: PROC (Process)
(resoln. of)
RN 110138-57-3 CAPLUS

L7 ANSWER 22 OF 33 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1987:417634 CAPLUS
DOCUMENT NUMBER: 107:17634
TITLE: The effect of novel psychotropic drugs on rat brain dopamine- and alpha-adrenergic receptor binding in vitro
AUTHOR(S): Gyure, K. I.; Szentendrei, T.; Fekete, M. I. K.; Ronai, A. Z.
CORPORATE SOURCE: EGIS Pharm., Budapest, Hung.
SOURCE: Adv. Pharmacol. Res. Pract., Proc. Congr. Hung. Pharmacol. Soc., 4th (1986), Meeting Date 1985, Volume 2, 309-12. Editor(s): Knoll, Jozsef; Kelemen, Karoly. Pergamon: Oxford, UK.
CODEN: 55NPA6
DOCUMENT TYPE: Conference
LANGUAGE: English
GI



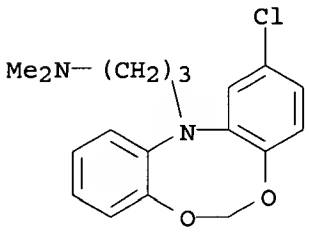
I, n=2, 3, R=NEt_2, NMe_2, heterocyclic

AB The dopaminergic and .alpha.-adrenergic receptor binding of 12 phenothiazine-related compds., the dibenzodiaxazocines (including antidepressant candidates EGYT-475 (I) and EGYT-3615 (II)), were studied in rat striatal membranes using [3H]-spiperone (D2-dopaminergic receptor ligand), [3H]-dhydroergocryptine (nonspecific .alpha.-adrenoceptor ligand), [3H]-prazosin (.alpha.1-adrenosceptor ligand), and [3H]-clonidine (.alpha.2-adrenoceptor ligand). Among the tested compds. there were several potent displacers at D2-dopamine and .alpha.1-adrenoceptors. I, II, and I metabolite EGYT-2760 showed no affinity for D2-receptors and weak affinity for .alpha.-receptors. The reactions of these findings to

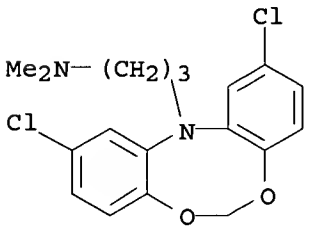
the antidepressant action of dibenzodiaxazocines are discussed.

IT **70133-81-2 70133-95-8 100241-71-2**
103624-59-5 108766-04-7 108779-40-4
RL: PROC (Process)
(binding of, to dopamine and .alpha.-adrenergic receptors of brain)

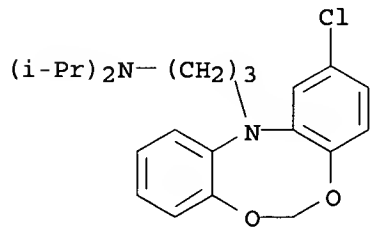
RN 70133-81-2 CAPLUS
CN 12H-Dibenzo[d,g][1,3,6]dioxazocine-12-propanamine, 2-chloro-N,N-dimethyl- (9CI) (CA INDEX NAME)



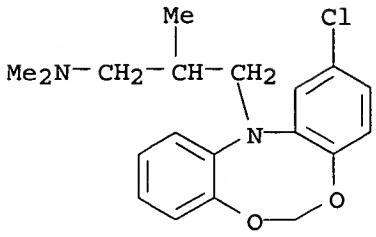
RN 70133-95-8 CAPLUS
CN 12H-Dibenzo[d,g][1,3,6]dioxazocine-12-propanamine, 2,10-dichloro-N,N-dimethyl- (9CI) (CA INDEX NAME)



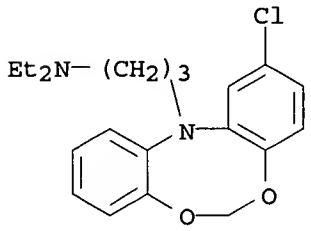
RN 100241-71-2 CAPLUS
CN 12H-Dibenzo[d,g][1,3,6]dioxazocine-12-propanamine, 2-chloro-N,N-bis(1-methylethyl)- (9CI) (CA INDEX NAME)



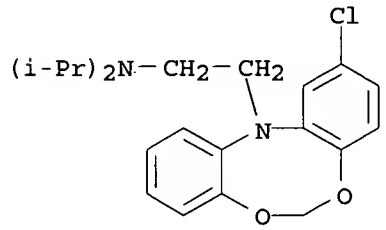
RN 103624-59-5 CAPLUS
CN 12H-Dibenzo[d,g][1,3,6]dioxazocine-12-propanamine, 2-chloro-N,N,.beta.-trimethyl- (9CI) (CA INDEX NAME)



RN 108766-04-7 CAPLUS
CN 12H-Dibenzo[d,g][1,3,6]dioxazocine-12-propanamine, 2-chloro-N,N-diethyl- (9CI) (CA INDEX NAME)

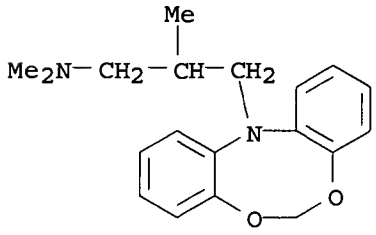


RN 108779-40-4 CAPLUS
CN 12H-Dibenzo[d,g][1,3,6]dioxazocine-12-ethanamine, 2-chloro-N,N-bis(1-methylethyl)- (9CI) (CA INDEX NAME)

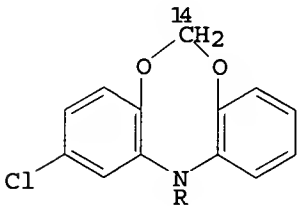


L7 ANSWER 23 OF 33 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1987:148657 CAPLUS
DOCUMENT NUMBER: 106:148657
TITLE: Liquid chromatography with electrochemical detection. New applications in ion chromatography and reversed-phase chromatography
AUTHOR(S): Horvai, G.; Fekete, J.; Niegreisz, Zs.; Toth, K.; Pungor, E.
CORPORATE SOURCE: Inst. Gen. Anal. Chem., Tech. Univ. Budapest, Budapest, 1111, Hung.
SOURCE: J. Chromatogr. (1987), 385, 25-32
CODEN: JOCRAM; ISSN: 0021-9673
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Electrochem. detection has the potential to be applied to an even wider range of techniques and conditions. It is useful in ion chromatog. for detecting both electroactive and electroinactive ions. C paste electrodes may be suitable for work at high concns. of org. solvent and sample clean-up can sometimes be simplified without a substantial decrease in performance. Several examples including biol. active compds. are given.
IT **107615-76-9**

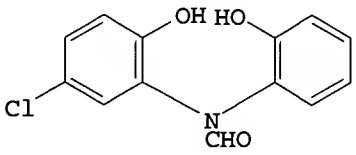
RL: ANT (Analyte); ANST (Analytical study)
(detn. of, in rat blood serum by liq. chromatog. with electrochem. detection)
RN 107615-76-9 CAPLUS
CN 12H-Dibenzo[d,g][1,3,6]dioxazocine-12-propanamine, N,N,.beta.-trimethyl- (9CI) (CA INDEX NAME)



L7 ANSWER 24 OF 33 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1986:515038 CAPLUS
DOCUMENT NUMBER: 105:115038
TITLE: Synthesis of carbon-14-labelled dibenzo[d,g][1,3,6]dioxazocine derivatives (EGYT-2474 and EGYT-2509)
AUTHOR(S): Birkas-Faigl, E.; Engler, J.; Zolyomi, G.; Rozsa, L.
CORPORATE SOURCE: Inst. Drug Res., Budapest, H-1325, Hung.
SOURCE: J. Labelled Compd. Radiopharm. (1985), 22(10), 1061-6
CODEN: JLCRD4; ISSN: 0362-4803
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 105:115038
GI

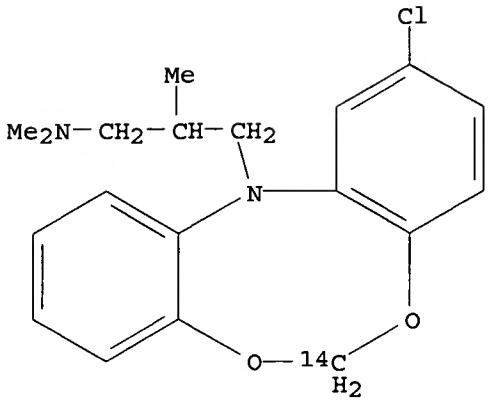


I



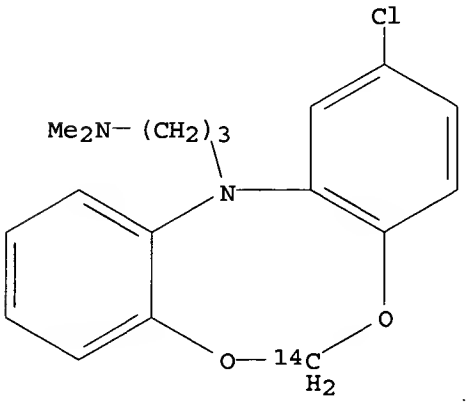
II

AB Title compds. I [R = Me2N(CH2)3, Me2NCH2CHMeCH2] were prepd. by alkylation of the labeled parent dioxazocine, obtained by cyclization of the aminobisphenol II with 14CH2I2, in turn prepd. by Na3AsO3 oxidn. of 14CHI3. The 14CHI3 was prepd. from 14CH3C(OH)(SO3Na)Et, KI, and NaOCl.
IT **104152-82-1P 104152-83-2P 104152-84-3P 104171-14-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 104152-82-1 CAPLUS
CN 12H-Dibenzo[d,g][1,3,6]dioxazocine-6-14C-12-propanamine, 2-chloro-N,N,.beta.-trimethyl-, monohydrochloride (9CI) (CA INDEX NAME)

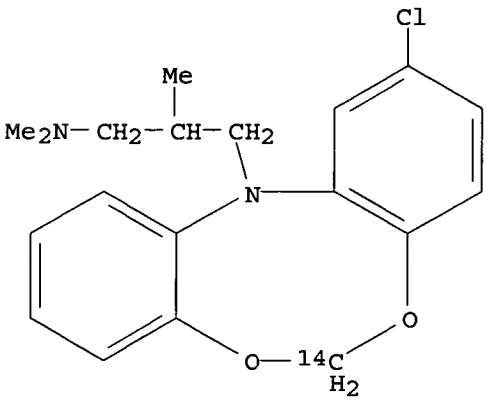


● HCl

RN 104152-83-2 CAPLUS
CN 12H-Dibenzo[d,g][1,3,6]dioxazocine-6-14C-12-propanamine, 2-chloro-N,N-dimethyl- (9CI) (CA INDEX NAME)



RN 104152-84-3 CAPLUS
CN 12H-Dibenzo[d,g][1,3,6]dioxazocine-6-14C-12-propanamine, 2-chloro-N,N,.beta.-trimethyl- (9CI) (CA INDEX NAME)

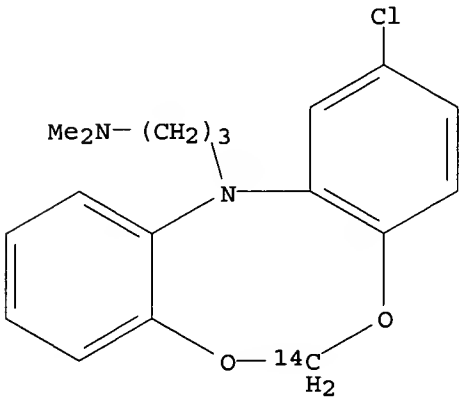


09/ 995,137

RN 104171-14-4 CAPLUS
CN 12H-Dibenzo[d,g][1,3,6]dioxazocine-6-14C-12-propanamine, 2-chloro-N,N-dimethyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

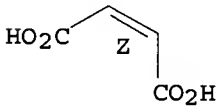
CRN 104152-83-2
CMF C18 H21 Cl N2 O2



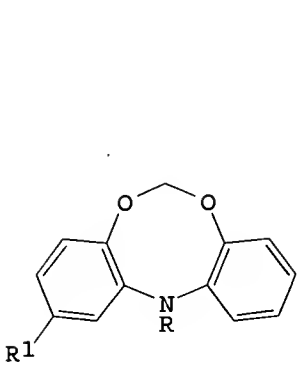
CM 2

CRN 110-16-7
CMF C4 H4 O4
CDES 2:Z

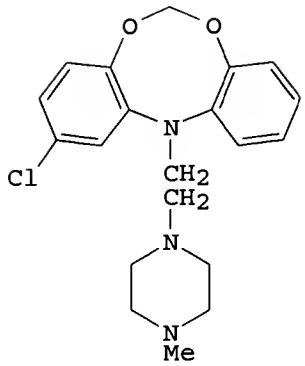
Double bond geometry as shown.



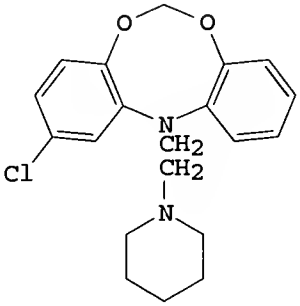
L7 ANSWER 25 OF 33 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1986:478223 CAPLUS
DOCUMENT NUMBER: 105:78223
TITLE: Conformational analysis of the dibenzo[d,g][1,3,6]dioxazocine skeleton
AUTHOR(S): Farkas, M.; Lang, G.; Dinya, Z.; Sohar, P.; Rozsa, L.; Budai, Z.
CORPORATE SOURCE: Comput. Cent., Semmelweis Med. Univ., Budapest, H-1089, Hung.
SOURCE: J. Mol. Struct. (1985), 131(1-2), 131-40
CODEN: JMOSB4; ISSN: 0022-2860
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 105:78223
GI



I



II



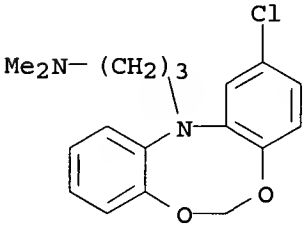
III

AB 1H and 13C NMR of I [R = (CH2)3NMe2, Cl; CH2CHMeCH2NMe2, Cl], II, and III were detd. along with IR data. The Scheraga method (H. A. Scheraga, 1971) was used in the conformational anal. of I, II, and III.

IT **70133-81-2P 103624-59-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and conformational anal. of)

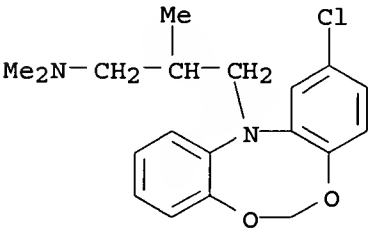
RN 70133-81-2 CAPLUS

CN 12H-Dibenzo[d,g][1,3,6]dioxazocine-12-propanamine, 2-chloro-N,N-dimethyl- (9CI) (CA INDEX NAME)

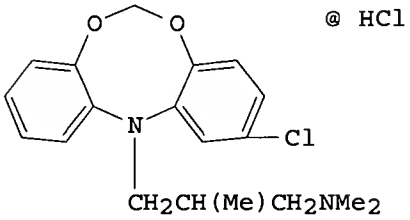


RN 103624-59-5 CAPLUS

CN 12H-Dibenzo[d,g][1,3,6]dioxazocine-12-propanamine, 2-chloro-N,N,.beta.-trimethyl- (9CI) (CA INDEX NAME)



L7 ANSWER 26 OF 33 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1986:81873 CAPLUS
DOCUMENT NUMBER: 104:81873
TITLE: Dopamine receptor binding of a novel dibenzodioxazocine derivative, EGYT-2509
AUTHOR(S): Gyure, Katalin; Szentendrei, Tibor; Kanyicska, Bela; Fekete, Marton I. K.; Ronai, Andras Z.
CORPORATE SOURCE: EGYT Pharmacochem. Works, Budapest, Hung.
SOURCE: Pol. J. Pharmacol. Pharm. (1985), 37(3), 253-61
CODEN: PJPPAA; ISSN: 0301-0244
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



@ HCl

I

AB Data from in vitro expts. with EGYT 2509 (I) [**70133-85-6**] on rat brain and pituitary indicated that the drug had dopamine antagonist activity. Previous pharmacol. studies showed that I could be used as an atypical neuroleptic. The binding of other dibenzodioxazocine derivs. to rat dopamine receptors (using [3H]-spiperone as the radioligand) is described. A brief review of previously published work is included.

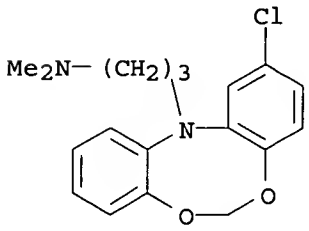
IT **70133-82-3 70133-96-9 100241-72-3**
100241-73-4
RL: PROC (Process)
(binding of, to brain receptors, pharmacol. in relation to)

RN 70133-82-3 CAPLUS

CN 12H-Dibenzo[d,g][1,3,6]dioxazocine-12-propanamine, 2-chloro-N,N-dimethyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

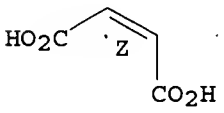
CRN 70133-81-2
CMF C18 H21 Cl N2 O2



CM 2

CRN 110-16-7
CMF C4 H4 O4
CDES 2:Z

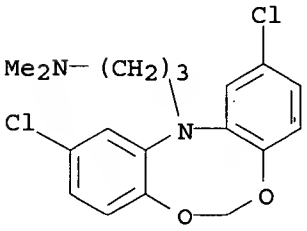
Double bond geometry as shown.



RN 70133-96-9 CAPLUS
CN 12H-Dibenzo[d,g][1,3,6]dioxazocine-12-propanamine, 2,10-dichloro-N,N-dimethyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

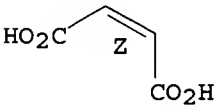
CRN 70133-95-8
CMF C18 H20 Cl2 N2 O2



CM 2

CRN 110-16-7
CMF C4 H4 O4
CDES 2:Z

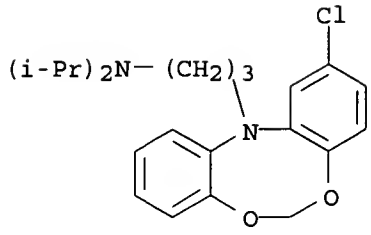
Double bond geometry as shown.



RN 100241-72-3 CAPLUS
CN 12H-Dibenzo[d,g][1,3,6]dioxazocine-12-propanamine, 2-chloro-N,N-bis(1-methylethyl)-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

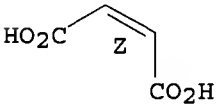
CRN 100241-71-2
CMF C22 H29 Cl N2 O2



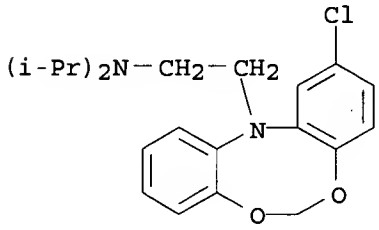
CM 2

CRN 110-16-7
CMF C4 H4 O4
CDES 2:Z

Double bond geometry as shown.

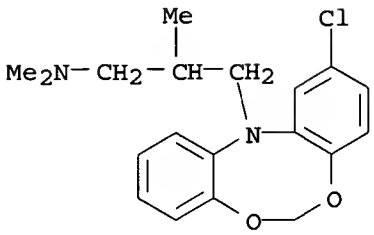


RN 100241-73-4 CAPLUS
CN 12H-Dibenzo[d,g][1,3,6]dioxazocine-12-ethanamine, 2-chloro-N,N-bis(1-methylethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



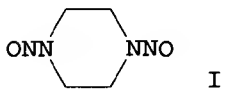
● HCl

IT **70133-85-6**
RL: BIOL (Biological study)
(dopamine antagonist activity of, receptor binding in relation to)
RN 70133-85-6 CAPLUS
CN 12H-Dibenzo[d,g][1,3,6]dioxazocine-12-propanamine, 2-chloro-N,N,.beta.-trimethyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L7 ANSWER 27 OF 33 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1985:418145 CAPLUS
DOCUMENT NUMBER: 103:18145
TITLE: Carcinogenesis studies on guppies
AUTHOR(S): Simon, Karoly; Lapis, Karoly
CORPORATE SOURCE: Inst. Pathol. Exp. Cancer Res., Semmelweis Med. Univ., Budapest, 1085, Hung.
SOURCE: Natl. Cancer Inst. Monogr. (1984), 65(Use Small Fish Species Carcinog. Test.), 71-81
CODEN: NCIMAV; ISSN: 0083-1921
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

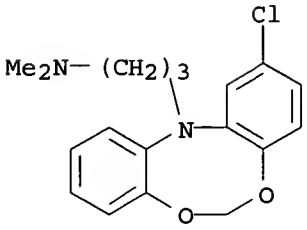
AB Guppies (Lebistes reticulatus) were used as models for the screening of potentially carcinogenic substances. Guppies treated with diethylnitrosamine [55-18-5] were used as pos. controls. Changes were noted in the livers, and a few specific lesions occurred in their gastrointestinal tracts. Studies with 10 other similar chems. found in the human environment were made. Of these, the carcinogenic effect of N,N'-dinitrosopiperazine (I) [140-79-4] on fish was demonstrated. Furthermore, 2 of 10 chems. intended for use as drugs were potential carcinogens. Because these expts. with water-sol. substances are inexpensive and the test periods are short, the use of fish is considered more advantageous than is the use of rodents.

IT **70133-82-3 96989-25-2**
RL: ADV (Adverse effect, including toxicity); BIOL (Biological study)
(carcinogenicity of, in guppies)

RN 70133-82-3 CAPLUS
CN 12H-Dibenzo[d,g][1,3,6]dioxazocine-12-propanamine, 2-chloro-N,N-dimethyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

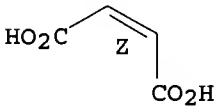
CRN 70133-81-2
CMF C18 H21 Cl N2 O2



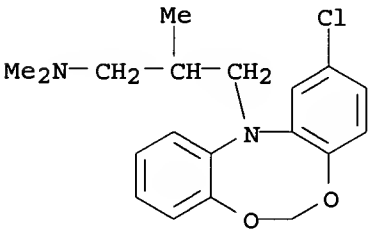
CM 2

CRN 110-16-7
CMF C4 H4 O4
CDES 2:Z

Double bond geometry as shown.



RN 96989-25-2 CAPLUS
CN 12H-Dibenzo[d,g][1,3,6]dioxazocine-12-propanamine, 2-chloro-N,N,.beta.-trimethyl-, hydrochloride (9CI) (CA INDEX NAME)



●x HCl

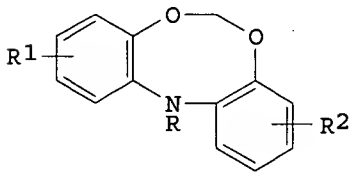
L7 ANSWER 28 OF 33 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1979:187009 CAPLUS
DOCUMENT NUMBER: 90:187009
TITLE: 12M-Dibenzo[d,g][1,3,6]dioxazocine derivatives
INVENTOR(S): Rozsa, Laszlo; Petocz, Lujza; Grasser, Katalin; Kosoczky, Ibolya; Kiszelly, Eniko; Nagy, Jozsef
PATENT ASSIGNEE(S): E. Gy. T. Gyogyszervegyeszeti Gyar, Hung.
SOURCE: Ger. Offen., 46 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

DE 2833892	A1	19790222	DE 1978-2833892	19780802
DE 2833892	C2	19860807		
HU 174126	P	19791128	HU 1977-EE2515	19770802
AT 7805155	A	19801215	AT 1978-5155	19780717
AT 363091	B	19810710		
US 4208410	A	19800617	US 1978-927934	19780725
CS 207639	P	19810831	CS 1978-4965	19780726
FI 7802340	A	19790203	FI 1978-2340	19780727
FI 63566	B	19830331		
FI 63566	C	19830711		
FR 2399417	A1	19790302	FR 1978-22207	19780727
FR 2399417	B1	19810213		
AU 7838396	A1	19800131	AU 1978-38396	19780727
AU 517160	B2	19810709		
SE 7808234	A	19790203	SE 1978-8234	19780728
SE 441828	B	19851111		
SE 441828	C	19860220		
CH 635834	A	19830429	CH 1978-8181	19780731
DK 7803404	A	19790203	DK 1978-3404	19780801
DK 149889	B	19861020		
DK 149889	C	19870427		
GB 2001980	A	19790214	GB 1978-31819	19780801
GB 2001980	B2	19820113		
JP 54070292	A2	19790605	JP 1978-94077	19780801
JP 62038351	B4	19870817		
NL 7808124	A	19790206	NL 1978-8124	19780802
NL 187574	B	19910617		
NL 187574	C	19911118		
SU 810080	A3	19810228	SU 1978-2644252	19780802
US 4229350	A	19801021	US 1979-61042	19790726

PRIORITY APPLN. INFO.: HU 1977-EE2515 19770802
US 1978-927934 19780725

GI



I

AB Dibenzodioxazocines I (R = H, aminoalkyl; R1, R2 = H, halogen, CN, CF3) were prepd. Thus, 2-BrC6H4OCH2OC6H3(NHCHO)Cl-2,4 was cyclized thermally in the presence of base to give 45.6% I (R = R1 = H, R2 = 2-Cl), which was treated with Cl(CH2)3NMe2 to give 81.8% I [R = (CH2)3NMe2, R1 = H, R2 = 2-Cl], whose maleate (II) had a local anesthetic ED50 of 0.15%. II also had an antitremorin ED50 of 8 mg/kg orally in mice.

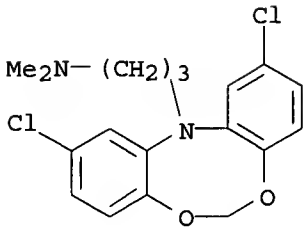
IT **70133-96-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and anti-Parkinsonism activity of)

RN 70133-96-9 CAPLUS

CN 12H-Dibenzo[d,g][1,3,6]dioxazocine-12-propanamine, 2,10-dichloro-N,N-dimethyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

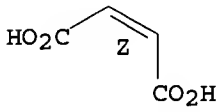
CRN 70133-95-8
CMF C18 H20 Cl2 N2 O2



CM 2

CRN 110-16-7
CMF C4 H4 O4
CDES 2:Z

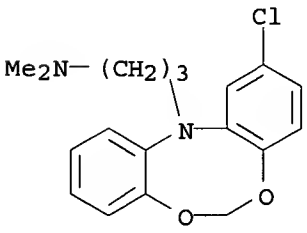
Double bond geometry as shown.



IT **70133-82-3P 70133-85-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and local anesthetic and anti-Parkinsonism activity of)
RN 70133-82-3 CAPLUS
CN 12H-Dibenzo[d,g][1,3,6]dioxazocine-12-propanamine, 2-chloro-N,N-dimethyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

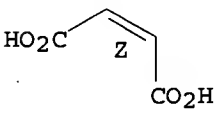
CRN 70133-81-2
CMF C18 H21 Cl N2 O2



CM 2

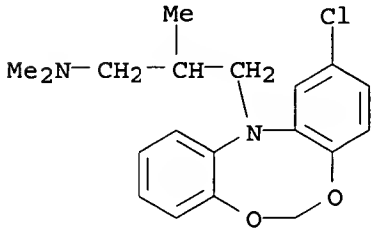
CRN 110-16-7
CMF C4 H4 O4
CDES 2:Z

Double bond geometry as shown.



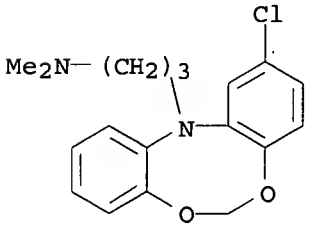
09/ 995,137

RN 70133-85-6 CAPLUS
CN 12H-Dibenzo[d,g][1,3,6]dioxazocine-12-propanamine, 2-chloro-N,N,.beta.-trimethyl-, monohydrochloride (9CI) (CA INDEX NAME)

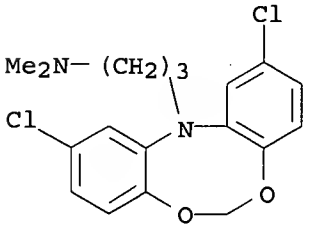


● HCl

IT **70133-81-2P 70133-95-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 70133-81-2 CAPLUS
CN 12H-Dibenzo[d,g][1,3,6]dioxazocine-12-propanamine, 2-chloro-N,N-dimethyl- (9CI) (CA INDEX NAME)

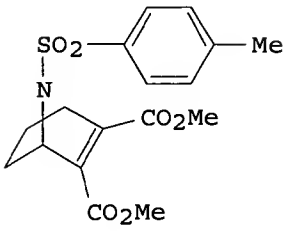


RN 70133-95-8 CAPLUS
CN 12H-Dibenzo[d,g][1,3,6]dioxazocine-12-propanamine, 2,10-dichloro-N,N-dimethyl- (9CI) (CA INDEX NAME)

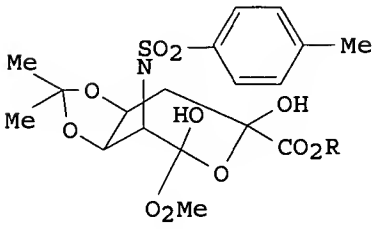


L7 ANSWER 29 OF 33 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1978:136536 CAPLUS
DOCUMENT NUMBER: 88:136536
TITLE: Diels-Alder reactions of pyrroles as an entry to substituted 3-oxatropanes and tetrasubstituted pyrrolidines
AUTHOR(S): Donnini, C. Paul; Just, George
CORPORATE SOURCE: Dep. Chem., McGill Univ., Montreal, Que., Can.
SOURCE: J. Heterocycl. Chem. (1977), 14(8), 1423-5

CODEN: JHTCAD; ISSN: 0022-152X
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



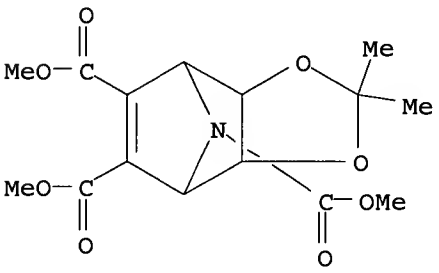
I



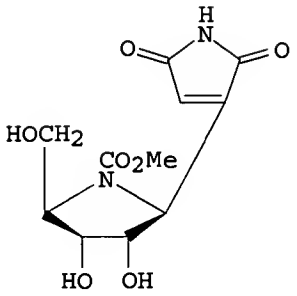
II

AB The Diels-Alder adduct of N-(p-toluenesulfonamido)pyrrole and MeO2CC.tplbond.CCO2Me, 7-azabicyclo[2.2.1]-2,5-heptadiene-2,3-dicarboxylate I was obtained in good yield and converted to stable 3-oxatropanes II (R = Me, H) in 25% yield.

IT **66079-77-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 66079-77-4 CAPLUS
CN 1,3-Benzodioxol-4,7-imine-5,6,8-tricarboxylic acid, 3a,4,7,7a-tetrahydro-2,2-dimethyl-, trimethyl ester, (3a.alpha.,4.beta.,7.beta.,7a.alpha.)-(9CI) (CA INDEX NAME)



L7 ANSWER 30 OF 33 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1978:23303 CAPLUS
DOCUMENT NUMBER: 88:23303
TITLE: C-Nucleosides and related compounds. XIV. The synthesis of a nitrogen analog of showdomycin
AUTHOR(S): Just, George; Donnini, G. Paul
CORPORATE SOURCE: Dep. Chem., McGill Univ., Montreal, Que., Can.
SOURCE: Can. J. Chem. (1977), 55(16), 2998-3006
CODEN: CJCHAG
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

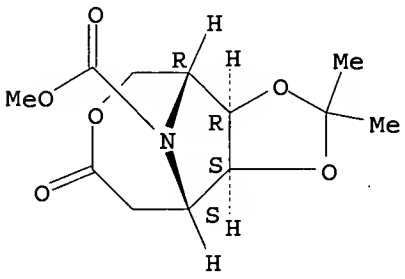
AB Starting from teloidinone showdomycin analog (I) in which the ribofuranosyl ring O is replaced by an N-carbomethoxy group was prepd. by a series of reactions in 7% overall yield. I failed to show any activity against 15 strains of bacteria, 4 strains of fungi, and 9 viral strains.

IT **64977-62-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and lactone ring opening of)

RN 64977-62-4 CAPLUS

CN 5H-1,3-Dioxolo[4,5-d]oxocin-4,9-imine-10-carboxylic acid, hexahydro-2,2-dimethyl-7-oxo-, methyl ester, (3a.alpha.,4.beta.,9.beta.,9a.alpha.)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

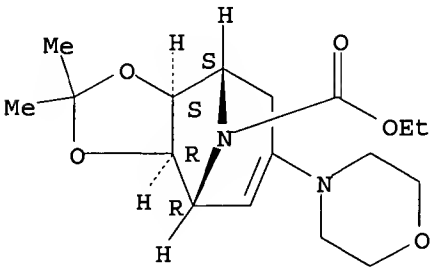


IT **64977-73-7P 64977-74-8P 64977-75-9P**
65024-03-5P 65073-77-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 64977-73-7 CAPLUS

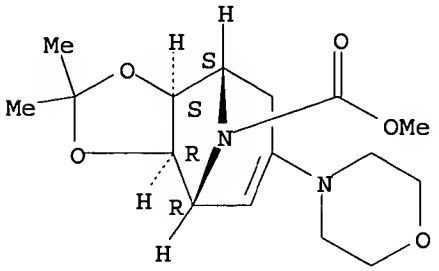
CN 4H-Cyclohepta-1,3-dioxol-4,8-imine-9-carboxylic acid, 3a,5,8,8a-tetrahydro-2,2-dimethyl-6-(4-morpholinyl)-, ethyl ester, (3a.alpha.,4.beta.,8.beta.,8a.alpha.)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



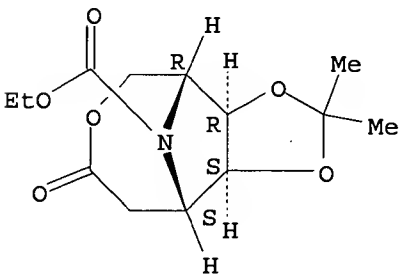
RN 64977-74-8 CAPLUS
CN 4H-Cyclohepta-1,3-dioxol-4,8-imine-9-carboxylic acid, 3a,5,8,8a-tetrahydro-2,2-dimethyl-6-(4-morpholinyl)-, methyl ester, (3a.alpha.,4.beta.,8.beta.,8a.alpha.)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



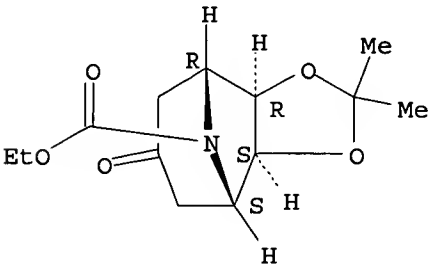
RN 64977-75-9 CAPLUS
CN 5H-1,3-Dioxolo[4,5-d]oxocin-4,9-imine-10-carboxylic acid, hexahydro-2,2-dimethyl-7-oxo-, ethyl ester, (3a.alpha.,4.beta.,9.beta.,9a.alpha.)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 65024-03-5 CAPLUS
CN 6H-Cyclohepta-1,3-dioxol-4,8-imine-9-carboxylic acid, hexahydro-2,2-dimethyl-6-oxo-, ethyl ester, (3a.alpha.,4.beta.,8.beta.,8a.alpha.)- (9CI) (CA INDEX NAME)

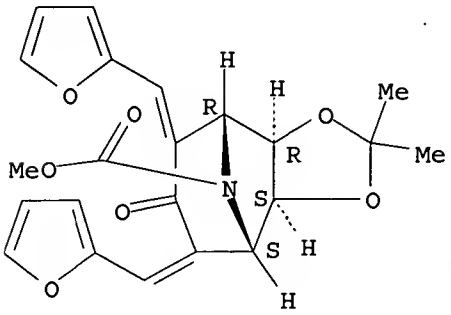
Relative stereochemistry.



RN 65073-77-0 CAPLUS
CN 4H-Cyclohepta-1,3-dioxol-4,8-imine-9-carboxylic acid, 5,7-bis(2-furanylmethylene)hexahydro-2,2-dimethyl-6-oxo-, methyl ester, (3a.alpha.,4.beta.,8.beta.,8a.alpha.)- (9CI) (CA INDEX NAME)

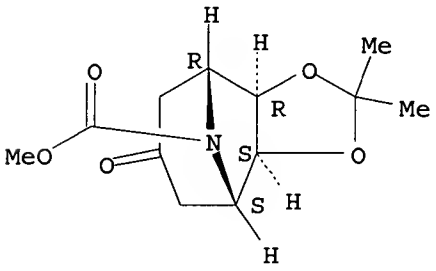
Relative stereochemistry.

Double bond geometry unknown.



IT **64977-55-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn., hydrolysis, and oxidn. of)
RN 64977-55-5 CAPLUS
CN 4H-Cyclohepta-1,3-dioxol-4,8-imine-9-carboxylic acid, hexahydro-2,2-dimethyl-6-oxo-, methyl ester, (3a.alpha.,4.beta.,8.beta.,8a.alpha.)-(9CI) (CA INDEX NAME)

Relative stereochemistry.



L7 ANSWER 31 OF 33 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1971:76460 CAPLUS
DOCUMENT NUMBER: 74:76460
TITLE: Coronary vasodilating 6-methyl-11-[3-[N-methyl-N-(1-phenyl-2-propyl)amino]propyl[dibenzo[1,2,5]thiadiazepine 5,5-dioxide
INVENTOR(S): Weber, Abraham; Frossard, Jean; Bouzard, Daniel
PATENT ASSIGNEE(S): Bristol-Myers Co.
SOURCE: Ger. Offen., 16 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2032341	A	19710121	DE 1970-2032341	19700630
US 3644417	A	19720222	US 1969-838671	19690702
FR 2059468	A1	19710604	FR 1970-24478	19700701
FR 2059468	A5	19710604		
GB 1313593	A	19730411	GB 1970-31924	19700701
JP 48012759	B4	19730423	JP 1970-57370	19700702

PRIORITY APPLN. INFO.: US 1969-838671 19690702
GI For diagram(s), see printed CA Issue.

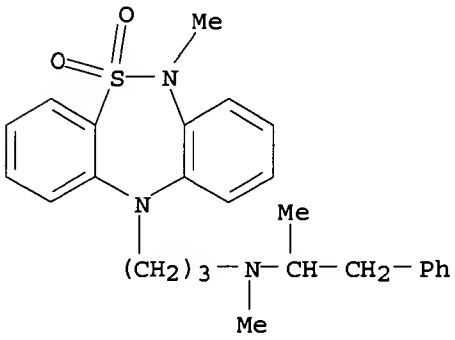
09/ 995,137

AB Coronary vasodilating title compd. (I) of 1400 mg/kg LD50 on oral administration to mice, which on 0.5-2.5 mg/kg i.v. application to dogs increased the coronary circulation from 90 to 200%, was prepd. by reaction of the Na salt of 6-methyldibenzo[1,2,5]thiadiazepine 5,5-dioxide at 80-150.degree. with PhCH2CHMe5nMe(CH2)3Cl which was prepd. from Cl(CH2)3OH and PhCH2CHMeNHMe via PhCH2CHMeNMe(CH2)3OH (II). II was also prepd. by reductive alkylation of MeNH(CH2)3OH with PhCH2COMe.

IT **30840-27-8P 30840-28-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

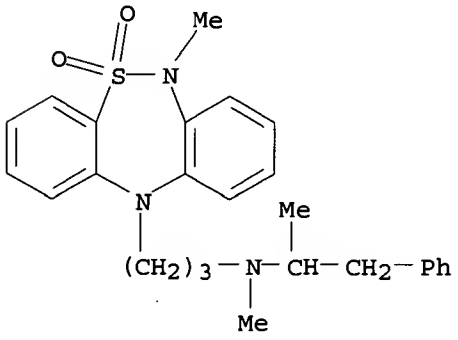
RN 30840-27-8 CAPLUS

CN Dibenzo[1,2,5]thiadiazepine, 6,11-dihydro-6-methyl-11-[3-[methyl(.alpha.-methylphenethyl)amino]propyl]-, 5,5-dioxide (8CI) (CA INDEX NAME)



RN 30840-28-9 CAPLUS

CN Dibenzo[1,2,5]thiadiazepine, 6,11-dihydro-6-methyl-11-[3-[methyl(.alpha.-methylphenethyl)amino]propyl]-, 5,5-dioxide, monohydrochloride (8CI) (CA INDEX NAME)



● HCl

L7 ANSWER 32 OF 33 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1967:500161 CAPLUS
DOCUMENT NUMBER: 67:100161
TITLE: 5,5-Dioxodibenzo-1,2,5-thiadiazepines
INVENTOR(S): Kreighbaum, William E.
PATENT ASSIGNEE(S): Mead Johnson and Co.
SOURCE: U.S., 6 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent

09/ 995,137

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

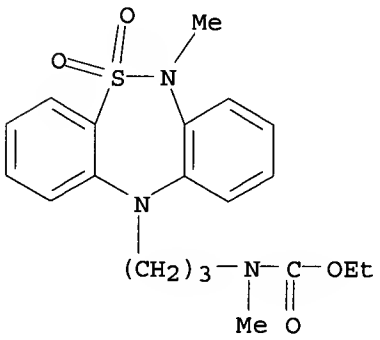
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3322789		19670530	US	19631206

GI For diagram(s), see printed CA Issue.

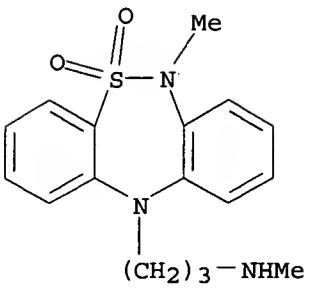
AB The title compds. (I) are useful as psychotropic agents. A soln. of 7 g. I (R = Me, R1 = (CH2)3, R2 = Me, R3 = Me) in 70 ml. PhMe was added dropwise during 1 hr. at 25.degree. to a soln. of 7 g. ClCO2Et (II) in 70 ml. PhMe, the mixt. refluxed 23 hrs., cooled to room temp., and extd. with 10% aq. HCl, the org. layer sepd. to give 5.8 g. I (R = Me, R1 = (CH2)3, R2 = Me, R3 = CO2Et) (Ia). A mixt. of 7.3 g. Ia and 3 g. KOH in 200 ml. BuOH was refluxed 7 hrs. under N, the solvent distd. off in vacuo at 100.degree., the residue treated with 100 ml. H2O and 100 ml. C6H6, filtered, and the C6H6 layer worked up to give I.HCl (R = Me, R1 = (CH2)3, R2 = Me, R3 = H) (Ib), m. 201.5-2.5.degree.. A soln. of 158 g. Cl(CH2)3NMe2 (III).HCl in 250 ml. H2O was converted to the free base by treatment with aq. NaOH and extn. with Et2O. A dry Et2O soln. of III was added slowly to a soln. of 326 g. II in 600 ml. C6H6 at 20-5.degree.. The Et2O was distd. off and the C6H6 soln. refluxed 2 hrs., allowed to cool to room temp., washed with 200 ml. N HCl, dried, and distd. to give N-(3-chloropropyl)-N-methylcarbamic acid ethyl ester (IV). A mixt. of 19.5 g. 6-methyl-5,5-dioxodibenzo-1,2,5-thiadiazepine and 170 ml. dry HCONMe2 at 100.degree. was treated with a suspension of 10.5 g. NaH in mineral oil followed by 27 g. IV, heated 3 hrs. at 120.degree., cooled to room temp., and poured into ice H2O to ppt. Ia. A soln. of 3 g. 6-methyl-8-carboxy-11-(3-methylamino-1-propyl)-5,5-dioxodibenzo-1.2.5-thiadiazepine in 30 ml. 5N ethanolic HCl was refluxed 2 hrs. and evapd. to dryness to give 6-methyl-8-carbethoxy-11-(3-methylamino - 1 - propyl) - 5,5 - dioxodibenzo-1,2,5-thiadiazepine-HCl. Formulations are given for the prepn. of parenteral solns. and tables contg. Ib.

IT **16229-89-3P 16229-90-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 16229-89-3 CAPLUS
CN Carbamic acid, methyl[3-(6-methyl-5,5-dioxidodibenzo[c,f][1,2,5]thiadiazepin-11(6H)-yl)propyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 16229-90-6 CAPLUS
CN Dibenzo[1,2,5]thiadiazepine, 6,11-dihydro-6-methyl-11-[3-(methylamino)propyl]-, 5,5-dioxide, monohydrochloride (8CI) (CA INDEX NAME)



● HCl

L7 ANSWER 33 OF 33 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1967:95092 CAPLUS
DOCUMENT NUMBER: 66:95092
TITLE: 5,5-Dioxodibenzo[1,2,5]thiadiazepines
INVENTOR(S): Weber, Abraham
PATENT ASSIGNEE(S): Mead Johnson and Co.
SOURCE: U.S., 5 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3274058		19660920	US	19631206

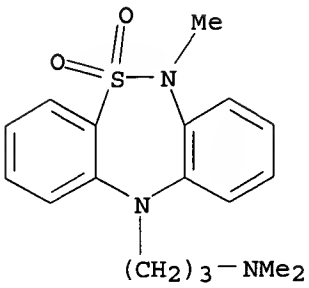
GI For diagram(s), see printed CA Issue.

AB The title compds. I are prepd. by the reaction of an aminoalkyl ester with dioxodibenzothiadiazepine in an inert solvent under anhyd. conditions in the presence of a strong base. Thus, to a mixt. of 19.5 g. 6-methyl-5,5-dioxodibenzo[1,2,5]thiadiazepine and 170 ml. dry HCONMe2 heated to 100.degree. is added a suspension of 10.5 g. NaH in small portions. The mixt. is treated with 35 g. BrCH2CH2NEt2.HBr during 10 min. at 100-20.degree.. The suspension is heated at 115-20.degree. 3 hrs. with agitation, cooled, poured into water and the ppt. filtered off, dissolved in dil. HCl, the soln. filtered and the filtrate adjusted to pH 9 with concd. NaOH. The pptd. base is filtered off, dissolved in iso-PrOH and treated with HCl to give the HCl salt of II, m. 223-4.degree. (iso-PrOH). Similarly was prepd. III, m. 199-200.degree. (iso-PrOH), and 36 other related compds.

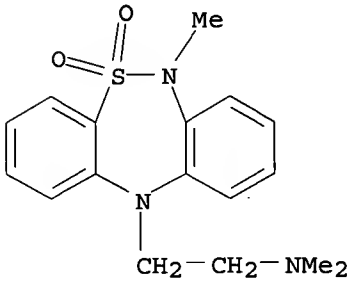
IT **7200-23-9P 7200-24-0P 14571-66-5P**
14571-67-6P 14571-74-5P 14929-03-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 7200-23-9 CAPLUS

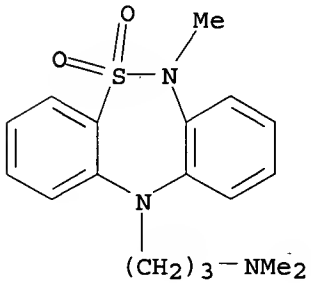
CN Dibenzo[c,f][1,2,5]thiadiazepine-11(6H)-propanamine, N,N,6-trimethyl-, 5,5-dioxide (9CI) (CA INDEX NAME)



RN 7200-24-0 CAPLUS
CN Dibenzo[c,f][1,2,5]thiadiazepine-11(6H)-ethanamine, N,N,6-trimethyl-, 5,5-dioxide (9CI) (CA INDEX NAME)

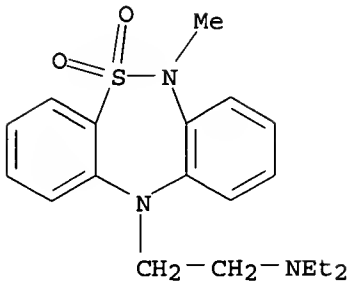


RN 14571-66-5 CAPLUS
CN Dibenzo[1,2,5]thiadiazepine, 11-[3-(dimethylamino)propyl]-6,11-dihydro-6-methyl-, 5,5-dioxide, monohydrochloride (8CI) (CA INDEX NAME)



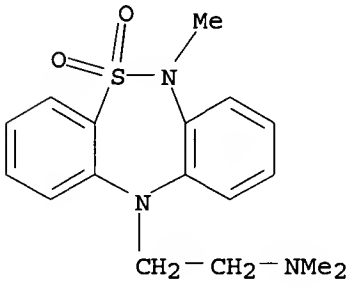
● HCl

RN 14571-67-6 CAPLUS
CN Dibenzo[1,2,5]thiadiazepine, 11-[2-(diethylamino)ethyl]-6,11-dihydro-6-methyl-, 5,5-dioxide, monohydrochloride (8CI) (CA INDEX NAME)



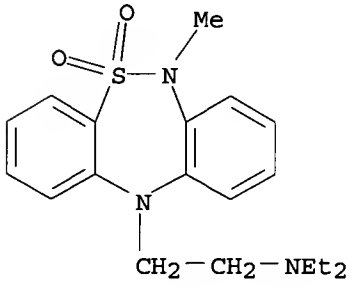
● HCl

RN 14571-74-5 CAPLUS
CN Dibenzo[1,2,5]thiadiazepine, 11-[2-(dimethylamino)ethyl]-6,11-dihydro-6-methyl-, 5,5-dioxide, monohydrochloride (8CI) (CA INDEX NAME)



● HCl

RN 14929-03-4 CAPLUS
CN Dibenzo[1,2,5]thiadiazepine, 11-[2-(diethylamino)ethyl]-6,11-dihydro-6-methyl-, 5,5-dioxide (8CI) (CA INDEX NAME)



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(FILE 'HOME' ENTERED AT 15:34:16 ON 09 MAY 2002)

FILE 'REGISTRY' ENTERED AT 15:34:26 ON 09 MAY 2002
L1 STRUCTURE UPLOADED

09/ 995,137

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L2               STRUCTURE UPLOADED
L3             5 S L1
L4            83 S L1 FUL
L5             3 S L2
L6            51 S L2 FUL

     FILE 'CAPLUS' ENTERED AT 15:35:57 ON 09 MAY 2002
L7            33 S L4 OR L6

=> log y
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                      ENTRY    SESSION
FULL ESTIMATED COST                                   146.03     427.18

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                      ENTRY    SESSION
CA SUBSCRIBER PRICE                                   -20.44     -20.44

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